

Scientific and Technical Information Center

SEÄRCH REQUEST F@RM

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To ensure an efficient and quality search, pl	ease attach a copy of the cover	sheet, claims, and abstract or fill o	ut the following: MG
Title of Invention:			
Inventors (please provide full names):	·	·	
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Earliest Priority Date:	· · · · · · · · · · · · · · · · · · ·		÷
Search Topic: Please provide a detailed statement of the sear elected species or structures, keywords, synony Define any terms that may have a special mea	yms, acronyms, and registry nun	nbers, and combine with the concept	o be searched. Include the t or utility of the invention.
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FILE COVERS 1907 - 3 Apr 2006 VOL 144 ISS 15 FILE LAST UPDATED: 2 Apr 2006 (20060402/ED)

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VAR G1=13-1 12-8/12-1 13-8
REP G2=(1-10) C
VAR G3=N/O/16-2 17-19/14-2 15-19
NODE ATTRIBUTES:
NSPEC IS RC AT 9
DEFAULT MLEVEL IS ATOM
GGCAT IS MCY UNS AT 11
DEFAULT ECLEVEL IS LIMITED
ECOUNT IS E6 C AT 11

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED NUMBER OF NODES IS 19

STEREO ATTRIBUTES: NONE

L3 208 SEA FILE=REGISTRY SSS FUL L1

L4 27 SEA FILE=HCAPLUS ABB=ON PLU=ON L3

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L4 ANSWER 1 OF 27 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2006:165118 HCAPLUS

DOCUMENT NUMBER: 144:246354

TITLE: Signal transduction therapy with rationally designed

kinase inhibitors

AUTHOR(S): Keri, Gyorgy; Orfi, Laszlo; Eros, Daniel;

Hegymegi-Barakonyi, Balint; Szantai-Kis, Csaba; Horvath, Zoltan; Waczek, Frigyes; Marosfalvi, Jeno; Szabadkai, Istvan; Pato, Janos; Greff, Zoltan; Hafenbradl, Doris; Daub, Henrik; Muller, Gerhard;

Klebl, Bert; Ullrich, Axel

CORPORATE SOURCE: Vichem Chemie Research Ltd., Budapest, H-1022, Hung. SOURCE: Current Signal Transduction Therapy (2006), 1(1),

67-95

CODEN: CSTTBV; ISSN: 1574-3624 Bentham Science Publishers Ltd.

DOCUMENT TYPE: Journal; General Review

LANGUAGE: English

PUBLISHER:

A review. Signal transduction therapy has become one of the most important areas of drug research. Signaling disorders represent a major cause for the pathol. states and many of the recently identified validated target mols. of drug research are signal transduction related macromols., mostly kinases. Rational drug design is aimed to achieve the selective inhibition of distinct pathol. relevant signaling enzymes or receptors. In the previous years, the concept of rational drug design has been expanded for a complex process including pathomechanism-based target selection, target validation, structural biol., mol. modeling, structure-activity relationships, pharmacophore-based compound selection and pharmacol. optimization. The two main branches of the chemical rational drug design are structure-based design and ligand-based design. Some important examples for the application of 3D structure-based rational drug design in the development of clin. relevant kinase inhibitors are presented. The Nested Chemical Library (NCL) technol. is a ligand-based design approach and relies on a knowledge-based approach, where focused libraries around published leads and selected cores are used to generate extended pharmacophore models (Prediction Oriented QSAR). NCL was designed on the platform of a diverse kinase inhibitor library, consisting of small mol. heterocycles, which are organized around 108 core structures. Some examples for testing the library on various targets and Prediction Oriented QSAR models will also be presented. The core elements of the kinase family-biased masterkey concept are the so-called privileged structures that emerge from a sophisticated mol. design and optimization process that encodes for a target family-wide structural commonality in ligand binding. The combination of a kinase family-wide imprinted commonality with addnl. structural fragments in the mol. periphery of a once established privileged structure allows to synthesize highly active and selective kinase inhibitors. In addition, several kinase inhibitors in preclin. or clin. development and application of 3D structure based rational drug design in the development of clin. relevant kinase inhibitors are reviewed.

IT 497839-62-0, AEE 788

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(signal transduction therapy with rationally designed kinase inhibitors)

RN 497839-62-0 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, 6-[4-[(4-ethyl-1-piperazinyl)methyl]phenyl]-N-[(1R)-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

were Lovo (colon tumor), KB (nasopharyngeal), and HT29 (colon tumor), whereas the resistant cell lines were MKN 45 (gastric tumor), Calu 6 (lung tumor), and PC3 (prostate tumor). Expression profiles were determined by measuring RNA expression on the Affymetrix microarray platform and confirmed using RT-PCR. Preferred genes include any one of NES, GSPT2, ETR101, TAZ, CHST7, DNAJC3, NPAS2, PIN1, TCEA2, VAMP4, DAPK1, DAPK2, MLLT3, TNC1, KIAA0931, ACOX2, EMP1, SLC20A1, SPRY2, or PGM1.

IT 497839-62-0, AEE788

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (gene expression markers for selection of erbB receptor drugs for treatment of tumors)

RN 497839-62-0 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, 6-[4-[(4-ethyl-1-piperazinyl)methyl]phenyl]-N-[(1R)-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 3 OF 27 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2006:31415 HCAPLUS

DOCUMENT NUMBER: 144:108353

TITLE: Preparation of pyrrolo[2,3-d]pyrimidines that modulate

ACK1 and LCK activity for use against cancer

INVENTOR(S): Farthing, Christopher N.; Faulder, Paul; Frenkel,

Alexander David; Harrison, Martin James; Jiao, Xianyun; Kayser, Frank; Kopecky, David J.; Liu,

Jinqian; Lively, Sarah E.; Sharma, Rajiv;

Shuttleworth, Stephen Joseph

PATENT ASSIGNEE(S): Amgen Inc., USA

SOURCE: PCT Int. Appl., 79 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT 1	NO.			ЙĬМ	D . ;	DATE			APPL	ICAT:	ION 1	. 01		D	ATE	
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WO 2006	0047	03		A2		20060	0112	1	WO 2	005-1	JS22	836		20	0050	629
WO 2006	0047	03		A3		2006	0309									
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	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
	GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KM,	ΚP,	KR,	KZ,
	LC,	LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,

REFERENCE COUNT: 224 THERE ARE 224 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

L4 ANSWER 2 OF 27 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2006:75312 HCAPLUS

DOCUMENT NUMBER: 144:164225

TITLE: Gene expression markers for selection of erbB receptor

drugs for treatment of tumors

INVENTOR(S): Hudson, Kevin; South, Marie Caroline; Marshall, Gayle;

Sam, Mehran

PATENT ASSIGNEE(S): AstraZeneca AB, Swed.; AstraZeneca UK Limited

SOURCE: PCT Int. Appl., 110 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA'	PATENT NO.				KIND DATE					APPLICATION NO.						DATE			
WO	WO 2006008526					A2 20060126			1	WO 2	005-0		2	0050	720				
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		LC,	LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,		
		NG,	NI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,		
		SL,	SM,	SY,	TJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UΖ,	VC,	VN,	YU,		
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		CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG,	BW,	GH,		
		GM,	KΕ,	LS,	MW,	ΜZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	ΑZ,	BY,		
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PRIORIT	Y APP	LN.	INFO	. :					1	US 2	004-	5903	57P	1	P 20	0040	723		

AB The invention relates to a method of selecting a mammal having or suspected of having a tumor for treatment with an erbB receptor drug which comprises testing a biol. sample from the mammal for expression of any one of certain specific genes to predict an increased likelihood of response to the erbB receptor drug. Genes useful to predict response to erbB receptor drugs were identified based on studies with tumors either sensitive to gefitinib or resistant to gefitinib, but the findings are applicable to erbB receptor drugs in general. The sensitive cell lines

US 2004-619027P

P 20041018

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NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK,
             SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU,
             ZA, ZM, ZW
         RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
             IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF,
             CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM,
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             KZ, MD, RU, TJ, TM
     US 2006040965
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                                 20060223
                                             US 2005-169313
                                                                    20050629
PRIORITY APPLN. INFO.:
                                             US 2004-583682P
                                                                    20040629
OTHER SOURCE(S):
                         MARPAT 144:108353
GI
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AB Pyrrolo[2,3-d]pyrimidines (shown as I; variables defined below; e.g. (5,6-Diphenyl-7H-pyrrolo[2,3-d]pyrimidin-4-yl)[2-(morpholin-4yl)ethyl]amine (shown as II)) that modulate the action of ACK1 and LCK, and related compns. methods for treating ACK1- and LCK-mediated diseases like cancer are described. Activities against ACK1 and LCK are tabulated for .apprx.40 examples of I. For I: R1 is OR5, -SR5, or NHR5; R2 and R3 independently are (un) substituted aryl, (un) substituted heteroaryl, (un)substituted cycloalkyl, (un)substituted cycloheteroalkyl,
(un)substituted arylalkyl, (heteroaryl)alkyl, substituted (heteroaryl)alkyl, (cycloalkyl)alkyl, substituted (cycloalkyl)alkyl, (cycloheteroalkyl)alkyl, or substituted (cycloheteroalkyl)alkyl; R4 is H, (un)substituted alkyl, (un)substituted alkylcarbonyl, (un)substituted arylcarbonyl, (un)substituted arylalkylcarbonyl, (un)substituted alkylsulfonyl, (un)substituted arylsulfonyl, (un)substituted arylalkylsulfonyl, (un)substituted trialkylsilyl, (un)substituted triarylalkylsilyl, formyl, (un)substituted diarylthiophosphinyl; and R5 is a (cycloheteroalkyl)alkyl or substituted (cycloheteroalkyl)alkyl moiety, wherein the cycloheteroalkyl portion of said moiety is a saturated ring. Although the methods of preparation are not claimed, prepns. and/or characterization data for .apprx.40 examples of I are included. example, II was prepared in 4 steps starting with preparation of 2-amino-1-(2,4-dimethoxybenzyl)-4,5-diphenyl-1H-pyrrole-3-carbonitrile from benzoin, 2,4-dimethoxybenzylamine, and malononitrile and involving [7-(2,4-dimethoxybenzyl)-5,6-diphenyl-7H-pyrrolo[2,3-d]pyrimidin-4yl]amine [7-(2,4-dimethoxybenzyl)-5,6-diphenyl-7H-pyrrolo[2,3-d]pyrimidin-4-yl][2-(morpholin-4-yl)ethyl]amine and addnl. intermediates. IT 873079-00-6P, N-Methyl-4-[5-phenyl-4-[[((S)-tetrahydrofuran-2yl)methyl]amino]-7H-pyrrolo[2,3-d]pyrimidin-6-yl]benzamide 873079-02-8P, N,N-Dimethyl-4-[5-phenyl-4-[[((S)-tetrahydrofuran-2yl) methyl] amino] -7H-pyrrolo[2,3-d]pyrimidin-6-yl] benzamide

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873079-03-9P, (Morpholin-4-yl) [4-[5-phenyl-4-[[((S)-
    tetrahydrofuran-2-yl) methyl] amino] -7H-pyrrolo[2,3-d] pyrimidin-6-
    yl]phenyl]methanone 873079-04-0P, N-Cyclopropyl-4-[5-phenyl-4-
     [[((S)-tetrahydrofuran-2-yl)methyl]amino]-7H-pyrrolo[2,3-d]pyrimidin-6-
    yl]benzamide 873079-05-1P, N-(2-Methoxyethyl)-4-[5-phenyl-4-
     [[((S)-tetrahydrofuran-2-yl)methyl]amino]-7H-pyrrolo[2,3-d]pyrimidin-6-
    yl]benzamide 873079-06-2P, N-[2-(Morpholin-4-yl)ethyl]-4-[5-
    phenyl-4-[[((S)-tetrahydrofuran-2-yl)methyl]amino]-7H-pyrrolo[2,3-
    d]pyrimidin-6-yl]benzamide 873079-07-3P, N-(2-
    Dimethylaminoethyl) -4-[5-phenyl-4-[[((S)-tetrahydrofuran-2-
    yl) methyl] amino] -7H-pyrrolo[2,3-d] pyrimidin-6-yl] benzamide
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
        (drug candidate; preparation of pyrrolo[2,3-d]pyrimidines that modulate ACK1
        and LCK activity for use against cancer)
     873079-00-6 HCAPLUS
RN
CN
     Benzamide, N-methyl-4-[5-phenyl-4-[[[(2S)-tetrahydro-2-
     furanyl]methyl]amino]-1H-pyrrolo[2,3-d]pyrimidin-6-yl]- (9CI) (CA INDEX
     NAME)
```

Absolute stereochemistry.

RN 873079-02-8 HCAPLUS
CN Benzamide, N,N-dimethyl-4-[5-phenyl-4-[[[(2S)-tetrahydro-2-furanyl]methyl]amino]-1H-pyrrolo[2,3-d]pyrimidin-6-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 873079-03-9 HCAPLUS

CN Morpholine, 4-[4-[5-phenyl-4-[[[(2S)-tetrahydro-2-furanyl]methyl]amino]-1H-pyrrolo[2,3-d]pyrimidin-6-yl]benzoyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 873079-04-0 HCAPLUS

CN Benzamide, N-cyclopropyl-4-[5-phenyl-4-[[[(2S)-tetrahydro-2-furanyl]methyl]amino]-1H-pyrrolo[2,3-d]pyrimidin-6-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 873079-05-1 HCAPLUS

CN Benzamide, N-(2-methoxyethyl)-4-[5-phenyl-4-[[[(2S)-tetrahydro-2-furanyl]methyl]amino]-1H-pyrrolo[2,3-d]pyrimidin-6-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 873079-06-2 HCAPLUS

CN Benzamide, N-[2-(4-morpholinyl)ethyl]-4-[5-phenyl-4-[[[(2S)-tetrahydro-2-furanyl]methyl]amino]-1H-pyrrolo[2,3-d]pyrimidin-6-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

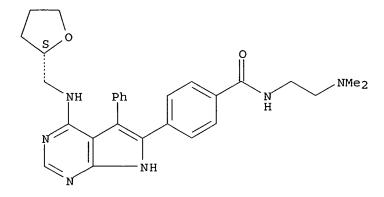
PAGE 2-A



873079-07-3 HCAPLUS RN

Benzamide, N-[2-(dimethylamino)ethyl]-4-[5-phenyl-4-[[[(2S)-tetrahydro-2-CN furanyl]methyl]amino]-1H-pyrrolo[2,3-d]pyrimidin-6-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



ANSWER 4 OF 27 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2005:1213903 HCAPLUS

DOCUMENT NUMBER:

144:16632

TITLE:

Simultaneous Inhibition of EGFR, VEGFR, and

Platelet-Derived Growth Factor Receptor Signaling Combined with Gemcitabine Produces Therapy of Human Pancreatic Carcinoma and Prolongs Survival in an

Orthotopic Nude Mouse Model

AUTHOR (S):

Yokoi, Kenji; Sasaki, Takamitsu; Bucana, Corazon D.; Fan, Dominic; Baker, Cheryl H.; Kitadai, Yasuhiko;

Kuwai, Toshio; Abbruzzese, James L.; Fidler, Isaiah J.

CORPORATE SOURCE:

Departments of Cancer Biology and Medical Oncology, University of Texas M.D. Anderson Cancer Center,

Houston, TX, USA

SOURCE:

Cancer Research (2005), 65(22), 10371-10380

CODEN: CNREA8; ISSN: 0008-5472

PUBLISHER:

American Association for Cancer Research

DOCUMENT TYPE:

Journal

LANGUAGE:

English

Although gemcitabine has been approved as the first-line chemotherapeutic AB reagent for pancreatic cancer, its response rate is low and average survival duration is still only marginal. Because epidermal growth factor receptor (EGFR), vascular endothelial growth factor receptor (VEGFR), and platelet-derived growth factor receptor (PDGFR) modulate tumor progression, we hypothesized that inhibition of phosphorylation of all three on tumor cells, tumor-associated endothelial cells, and stroma cells would improve the treatment efficacy of gemcitabine in an orthotopic pancreatic tumor model in nude mice and prolong survival. We implanted

L3.6pl, a human pancreatic cancer cell, in the pancreas of nude mice. We found that tumor-associated endothelial cells in this model highly expressed phosphorylated EGFR, VEGFR, and PDGFR. Oral administration of AEE788, a dual tyrosine kinase inhibitor against EGFR and VEGFR, decreased phosphorylation of EGFR and VEGFR. PDGFR phosphorylation was inhibited by STI571. Although i.p. injection of gemcitabine did not inhibit tumor growth, its combination with AEE788 and STI571 produced >80% inhibition of tumor growth and prolonged survival in parallel with increases in number of tumor cells and tumor-associated endothelial cell apoptosis, decreased microvascular d., decreased proliferation rate, and prolonged survival. STI571 treatment also decreased pericyte coverage on tumor-associated endothelial cells. Thus, inhibiting phosphorylation of EGFR, VEGFR, and PDGFR in combination with gemcitabine enhanced the efficacy of gemcitabine, resulting in inhibition of exptl. human pancreatic cancer growth and significant prolongation of survival.

IT 497839-62-0, AEE 788

> RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(simultaneous inhibition of EGFR, VEGFR, and PDGFR signaling combined with gemcitabine for pancreatic carcinoma)

RN497839-62-0 HCAPLUS

1H-Pyrrolo[2,3-d]pyrimidin-4-amine, 6-[4-[(4-ethyl-1-CN

piperazinyl)methyl]phenyl]-N-[(1R)-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT: 44 THERE ARE 44 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 5 OF 27 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2005:1201285 HCAPLUS

DOCUMENT NUMBER:

144:226695

TITLE:

Dual inhibition of the epidermal growth factor and vascular endothelial growth factor phosphorylation for antivascular therapy of human prostate cancer in the

prostate of nude mice

AUTHOR (S):

Yazici, S.; Kim, S. J.; Busby, J. E.; He, J.; Thaker,

P.; Yokoi, K.; Fan, D.; Fidler, I. J.

CORPORATE SOURCE:

Department of Cancer Biology, The University of Texas

M.D. Anderson Cancer Center, Houston, TX, USA

Prostate (New York, NY, United States) (2005), 65(3), SOURCE:

CODEN: PRSTDS; ISSN: 0270-4137

203-215

PUBLISHER:

Wiley-Liss, Inc.

DOCUMENT TYPE: Journal LANGUAGE: English

Background. Androgen-independent prostate cancer (PCa) may be susceptible to modulation of the tumor microenvironment. We determined whether a dual tyrosine kinase inhibitor (AEE788) of the epidermal growth factor receptor (EGF-R) and vascular endothelial growth factor receptor (VEGF-R) combined with chemotherapy can produce therapy of human PCa in nude mice. Methods. PC-3MM2 human PCa cells were injected into the prostate of nude mice. Three days later, the mice were randomized into four groups: saline control, paclitaxel, AEE788, and AEE788 and paclitaxel. The mice were treated for 5 wk and necropsied. Tumor incidence, weight, and incidence of lymph node metastasis were recorded. Tumor tissue was analyzed immunohistochem. Results. Treatment of mice with AEE788 or AEE788 plus paclitaxel significantly decreased tumor incidence, total tumor weight, and incidence of lymph node metastasis. AEE788 treatment alone or in combination with paclitaxel inhibited the phosphorylation of EGF-R and VEGF-R on tumor cells and tumor-associated endothelial cells. Therapeutic efficacy correlated with an increase in apoptosis of tumor cells and tumor-associated endothelial cells. Conclusion. Blockade of EGF-R and VEGF-R signaling pathways coupled with chemotherapy suppressed the progressive growth and metastasis of human PCa cells growing orthotopically in nude mice.

IT 497839-62-0, AEE 788

RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (dual tyrosine kinase inhibitor AEE788 alone or with paclitaxel inhibited phosphorylation of EGF-R and VEGF-R and raised apoptosis of tumor cells and tumor-associated endothelial cells in prostate of mouse with growing human PCa PC-3MM2 cell)

RN 497839-62-0 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, 6-[4-[(4-ethyl-1-piperazinyl)methyl]phenyl]-N-[(1R)-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT: 59 THERE ARE 59 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 6 OF 27 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:100

2005:1004423 HCAPLUS

DOCUMENT NUMBER:

143:312080

TITLE:

Artificial blood vessel for delivering therapeutic

agents

INVENTOR(S):

Bhat, Vinayak D.; Yan, John

PATENT ASSIGNEE(S):

Avantec Vascular Corp., USA

SOURCE:

U.S. Pat. Appl. Publ., 52 pp., Cont.-in-part of U.S.

Ser. No. 206,807.

CODEN: USXXCO

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

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WO	2004	0109	00		A1		2004	0205		WO 2	2003-1	JS204	192		2	0030	627
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		•	-		-				-		, EE,		-		-	-	
		-	-								, KG,						
											, MW,						
		PG.	PH.	PL,	PT,	RO,	RU,	SC,	SD,	SE	, SG,	SK,	SL,	SY,	TJ,	TM,	TN,
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Devices and methods for reducing, inhibiting, or treating restenosis and AΒ hyperplasia after intravascular intervention are provided. In particular, the present invention provides luminal prostheses which allow for sustained or controlled release of at least one therapeutic capable agent with increased efficacy to selected locations within a patient's vasculature to reduce restenosis. An intraluminal prosthesis may comprise an expandable structure and a source adjacent the expandable structure for releasing the therapeutic capable agent into a body lumen to reduce smooth muscle cell proliferation.

IT497839-62-0, AEE 788 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (artificial blood vessel for delivering therapeutic agents)

497839-62-0 HCAPLUS RN

1H-Pyrrolo[2,3-d]pyrimidin-4-amine, 6-[4-[(4-ethyl-1-

piperazinyl)methyl]phenyl]-N-[(1R)-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 7 OF 27 HCAPLUS COPYRIGHT 2006 ACS on STN

2005:902897 HCAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 143:248404

Preparation of 7H-pyrrolopyrimidine derivatives for TITLE:

the treating a disease which responds to an inhibition

of a protein tyrosine kinase

Caravatti, Giorgio; Vaupel, Andrea INVENTOR(S):

Novartis A.-G., Switz.; Novartis Pharma G.m.b.H. PATENT ASSIGNEE(S):

PCT Int. Appl., 54 pp. SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATI	ENT 1	10.			KIND DATE				i	APPL	ICAT:	ION 1	. 01						
WO 2	20050)779!	51		A2	- ;	2005	0825	Ţ	NO 2	005-1	 EP16:	- <i></i> 35		2	00502	2 1 7		
WO 2	20050	779	51		А3	:	2006	0302											
	W :	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,		
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,		
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KΡ,	KR,	KZ,	LC,		
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,		
		NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,		
		TJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	ΥU,	ZA,	ZM,	ZW,	SM	
	RW:	BW,	GH,	GM,	KE,	LS,	MW,	ΜZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,		
		ΑZ,	BY,	KG,	ΚZ,	MD,	RU,	ТJ,	TM,	AT,	ΒE,	BG,	CH,	CY,	CZ,	DE,	DK,		
		.EE,.	ES,	FI,	FR,	GB,	GR,	ΉŪ,	IE,	ΙS,	IT,	ĽТ,	LU,	MC,	ΝL,	PL,	PT,		
		RO,	SE,	SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,		
		MR,	ΝE,	SN,	TD,	TG													
IORITY	APPI	LN.	INFO	. :					•	GB 2	004-	3606		Ĭ	A 2	00402	218		

OTHER SOURCE(S): MARPAT 143:248404

GΙ

Ι

AB The title compds. I [R1, R2 = H, halo, alkyl, etc.; or NR1R2 = (un)substituted N-heterocycle; Y = X(R3)n, C(R3)(R3)A (wherein X = alkyl, amino, amido, carbonyl; A = hydroxy, amino, halo, alkyl; R3 = alkyl, alkoxy, carbonyl, etc.; n = 1-2)], useful for the treatment especially of a proliferative disease, such as a tumor, were prepared and formulated. E.g., a multi-step synthesis of I [R1 = Me; R2 = Pr; Y = 4-methylpiperazin-1-ylmethyl], starting from Et 4-(4-chloro-7H-pyrrolo[2,3]pyrimidin-6-yl)benzoate, was given. The compds. I were tested against BcrAbl, c-Abl, c-Raf-1, HER-1, HER-2 and VEGF receptor (KDR). Specific data were given for representative compds. I. The invention also relates to pharmaceutical compns. comprising such derivs. I and to the use of such derivs. - alone or in combination with one or more other pharmaceutically active compds. - for the preparation of pharmaceutical compns.

IT 863306-84-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 7H-pyrrolopyrimidine derivs. as protein tyrosine kinase inhibitors)

RN 863306-84-7 HCAPLUS

CN Piperazine, 1-[4-[4-(cyclopropylamino)-1H-pyrrolo[2,3-d]pyrimidin-6-yl]benzoyl]-4-methyl- (9CI) (CA INDEX NAME)

L4 ANSWER 8 OF 27 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:823692 HCAPLUS

DOCUMENT NUMBER: 143:229883

TITLE: Preparation of pyrrolopyrimidines for treating

proliferative diseases

INVENTOR(S): Caravatti, Giorgio; Traxler, Peter; Esser, Thomas; He,

Handan

PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Novartis Pharma G.m.b.H.

SOURCE:

GI

AΒ

PCT Int. Appl., 35 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent English

LANGUAGE:

2...9.

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT	NO.	K	CIND	DATE		i	APPLICATION NO.						DATE			
WO 2005	075460	-	A2	20050	Ţ	WO 2		20	0050	128						
W:	AE, AG,	AL, A	AM, AT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,		
	CN, CO,															
	GE, GH,															
	LK, LR,	LS, L	T, LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,		
	NO, NZ,	OM, P	PG, PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,		
	TJ, TM,	TN, T	TR, TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW		
RW:	BW, GH,	GM, K	Œ, LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	ŪĠ,	ZM,	ZW,	AM,		
	AZ, BY,	KG, K	(Z, MD,	RU,	TJ,	TM,	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,		
	EE, ES,	FI, F	R, GB,	GR,	HU,	ΙE,	·IS,	IT,	LT,	LU,	MC,	NL,	PL,	PT,		
	RO, SE,	SI, S	SK, TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,		
	MR, NE,	SN, T	TD, TG													
PRIORITY APP	LN. INFO	. :				ī	US 20	004-	54003	34P	1	P 20	0040	129		
OTHER SOURCE	(S):	C	CASREAC	T 143	:229	9883	; MAI	RPAT	143	: 229	883					

The present invention relates to a compound I [R1 = heterocyclyl, (un)substituted aryl; G = alkylene, C(O), or alkyleneC(O) wherein the carbonyl group is attached to the piperazine moiety; \overline{Q} = NH or O, with the proviso that Q = O if G = C(O) or alkyleneC(O); and X is either not present or alkylene, with the proviso that a heterocyclic radical R1 is bonded via a ring carbon atom if X is not present], which is useful for treating anti-proliferative diseases. E.g., a 2-step synthesis of (R)-II, starting from $\{6-[4-(chloromethyl)phenyl]-7H-pyrrolo[2,3-d]pyrimidin-4-yl\}-[(R)-1-phenylethyl]amine and N-BOC-piperazine, was given. The compds. I$

are effective as protein tyrosine kinase inhibitors. For example, the compds. I inhibit EGF-R tyrosine kinase activity by 50% in a concentration of from 0.0005 to 0.5 μ M, especially from 0.001 to 0.1 μ M.

IT 803706-07-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrrolopyrimidines as protein tyrosine kinase inhibitors for treating proliferative diseases)

RN 803706-07-2 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-[(1R)-1-phenylethyl]-6-[4-(1-piperazinylmethyl)phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 803706-08-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of pyrrolopyrimidines as protein tyrosine kinase inhibitors for treating proliferative diseases)

RN 803706-08-3 HCAPLUS

CN 1-Piperazinecarboxylic acid, 4-[[4-[4-[(1R)-1-phenylethyl]amino]-1H-pyrrolo[2,3-d]pyrimidin-6-yl]phenyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 9 OF 27 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

CORPORATE SOURCE:

2005:585333 HCAPLUS

DOCUMENT NUMBER:

143:399003

TITLE:

Antivascular Therapy for Orthotopic Human Ovarian Carcinoma through Blockade of the Vascular Endothelial Growth Factor and Epidermal Growth Factor Receptors

AUTHOR (S):

Thaker, Premal H.; Yazici, Sertac; Nilsson, Monique B.; Yokoi, Kenji; Tsan, Rachel Z.; He, Jungin; Kim,

Sun-Jin; Fidler, Isaiah J.; Sood, Anil K.

Departments of Cancer Biology and Gynecologic

Oncology, University of Texas M.D. Anderson Cancer

Center, Houston, TX, USA

SOURCE:

Clinical Cancer Research (2005), 11(13), 4923-4933

CODEN: CCREF4; ISSN: 1078-0432

PUBLISHER:

American Association for Cancer Research

DOCUMENT TYPE:

Journal

LANGUAGE:

English

PURPOSE: We determined whether the administration of the tyrosine kinase inhibitor, AEE788, which targets the epidermal growth factor receptor and the vascular endothelial growth factor receptor, alone or in combination with paclitaxel, can inhibit progressive growth of human ovarian carcinoma in the peritoneal cavity of female nude mice. Exptl. Design: Western blot anal. and immunohistochem. anal. identified the optimal dose and schedule of AEE788 therapy. In several different expts., paclitaxel-sensitive and paclitaxel-resistant human ovarian carcinoma cells were injected into the peritoneal cavity of nude mice. Seven days later, treatment with saline (control), AEE788 alone, paclitaxel alone, or a combination of AEE788 and paclitaxel began and continued for 45 days when the mice were necropsied. In independent survival expts., the mice were necropsied when they became moribund. RESULTS: Oral administration of AEE788 inhibited phosphorylation of the epidermal growth factor receptor and vascular endothelial growth factor receptor for up to 48 h. Treatment with AEE788 plus paclitaxel significantly reduced tumor weight and increased survival of mice implanted with paclitaxel-sensitive cell lines compared with control mice or mice treated with AEE788 alone or paclitaxel alone. In mice implanted with paclitaxel-resistant cells, the combination therapy also significantly reduced tumor weight but did not prolong survival. combination therapy induced apoptosis of both tumor cells and tumor-associated endothelial cells. CONCLUSIONS: The administration of AEE788 and paclitaxel inhibits the progression of human ovarian carcinoma in the peritoneal cavity of female nude mice, in part, by inducing apoptosis of tumor-associated endothelial cells.

IT 497839-62-0, AEE 788

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(oral AEE788 infusion inhibited VEGFR, EGFR phosphorylation and in combination with i.p paclitaxel reduced tumor weight, induced apoptosis in mouse with HeyA8, SkOVip1 cell and increased survival of mouse with paclitaxel-sensitive cell line)

RN 497839-62-0 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, 6-[4-[(4-ethyl-1piperazinyl)methyl]phenyl]-N-[(1R)-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT: 50 THERE ARE 50 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 10 OF 27 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:467276 HCAPLUS

DOCUMENT NUMBER: 143:71224

TITLE: Antivascular Therapy of Human Follicular Thyroid

Cancer Experimental Bone Metastasis by Blockade of Epidermal Growth Factor Receptor and Vascular Growth

Factor Receptor Phosphorylation

AUTHOR(S): Younes, Maher Nabil; Yigitbasi, Orhan Gazi; Park,

Young Wook; Kim, Sun-Jin; Jasser, Samar A.; Hawthorne,

Valerie Stone; Yazici, Yasemin Dakak; Mandal,

Mahitosh; Bekele, Benjamin Nebiyou; Bucana, Corazon

D.; Fidler, Isaiah J.; Myers, Jeffrey N.

CORPORATE SOURCE: Department of Head and Neck Surgery, The University of

Texas M.D. Anderson Cancer Center, Houston, TX,

66030-4009, USA

SOURCE: Cancer Research (2005), 65(11), 4716-4727

CODEN: CNREA8; ISSN: 0008-5472

PUBLISHER: American Association for Cancer Research

DOCUMENT TYPE: Journal LANGUAGE: English

Patients suffering from bone metastases of follicular thyroid carcinoma (FTC) have a poor prognosis because of the lack of effective treatment strategies. The overexpression of epidermal growth factor receptor (EGFR) associated with increased vascularity has been implicated in the pathogenesis of FTC and subsequent bone metastases. The authors hypothesized that inhibiting the phosphorylation of the EGFR and vascular endothelial growth factor receptor (VEGFR) by AEE788, a dual tyrosine kinase inhibitor of EGFR and VEGFR, in combination with paclitaxel would inhibit exptl. FTC bone lesions and preserve bone structure. The authors tested this hypothesis using the human WRO FTC cell line. In culture, AEE788 inhibited the EGF-mediated phosphorylation of EGFR, VEGFR2, mitogen-activated protein kinase, and Akt in culture. AEE788, alone and in combination with paclitaxel, inhibited cell growth and induced apoptosis. When WRO cells were injected into the tibia of nude mice, tumor and endothelial cells within the lesions expressed phosphorylated EGFR, VEGFR, Akt, and mitogen-activated protein kinase that were inhibited by the oral administration of AEE788. Therapy consisting of orally given AEE788 and i.p. injected paclitaxel induced a high level of apoptosis in tumor-associated endothelial cells and tumor cells with the inhibition of tumor growth in the bone and the preservation of bone structure. Collectively, these data show that blocking the phosphorylation of EGFR

and VEGFR with AEE788 combined with paclitaxel can significantly inhibit exptl. human FTC in the bone of nude mice.

IT 497839-62-0, AEE 788

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(antivascular therapy of human follicular thyroid cancer exptl. bone metastasis by blockade of epidermal growth factor receptor and vascular growth factor receptor phosphorylation)

RN 497839-62-0 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, 6-[4-[(4-ethyl-1-piperazinyl)methyl]phenyl]-N-[(1R)-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT: 61 THERE ARE 61 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 11 OF 27 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2005:391205 HCAPLUS 143:259101

DOCUMENT NUMBER: TITLE:

Multiple target molecules of tyrosine kinase

inhibitors including EGFR

AUTHOR(S):

Yano, Seiji

CORPORATE SOURCE:

Tokushima University, Japan

SOURCE:

Bunshi Kokyukibyo (2005), 9(2), 146-149 CODEN: BUKOFC; ISSN: 1342-436X

PUBLISHER:

Sentan Igakusha

DOCUMENT TYPE:

Journal; General Review

LANGUAGE:

Japanese

AB A review. Multiple target mols. of tyrosine kinase inhibitors including EGFR in the treatment of cancer is reviewed with ZD6474, AEE788, GW572016, and CI-1033 as examples. The comparison of multiple and single target therapy is also discussed.

IT 497839-62-0, AEE 788

RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(multiple target mols. of tyrosine kinase inhibitors including EGFR)

RN 497839-62-0 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, 6-[4-[(4-ethyl-1-piperazinyl)methyl]phenyl]-N-[(1R)-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 12 OF 27 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:375699 HCAPLUS

DOCUMENT NUMBER: 142:456524

TITLE: Dual inhibition of epidermal growth factor receptor

and vascular endothelial growth factor receptor phosphorylation by AEE788 reduces growth and

metastasis of human colon carcinoma in an orthotopic

nude mouse model

AUTHOR(S): Yokoi, Kenji; Thaker, Premal H.; Yazici, Sertac;

Rebhun, Robert R.; Nam, Do-Hyun; He, Junqin; Kim, Sun-Jin; Abbruzzese, James L.; Hamilton, Stanley R.;

Fidler, Isaiah J.

CORPORATE SOURCE: Department of Cancer Biology, University of Texas M.D.

Anderson Cancer Center, Houston, TX, USA

SOURCE: Cancer Research (2005), 65(9), 3716-3725

CODEN: CNREA8; ISSN: 0008-5472

PUBLISHER: American Association for Cancer Research

DOCUMENT TYPE: Journal LANGUAGE: English

We studied growth factors and their receptors in tumor cells and AB tumor-associated endothelial cells as the therapeutic targets in colon Immunohistochem. anal. of 13 surgical specimens of human colon adenocarcinoma revealed that both tumor cells and tumor-associated endothelial cells in 11 of the 13 specimens expressed the epidermal growth factor (EGF), transforming growth factor α (TGF- α), EGF receptor (EGFR), phosphorylated EGFR (pEGFR), vascular endothelial growth factor (VEGF), VEGF receptor (VEGFR), and phosphorylated VEGFR (pVEGFR). HT29 human colon cancer cells growing orthotopically in the cecum of nude mice expressed a high level of EGF, EGFR, pEGFR, VEGF, VEGFR, and pVEGFR. Double-immunofluorescence staining found that tumor-associated mouse endothelial cells also expressed pEGFR and pVEGFR. Tumors in mice treated for 5 wk with oral AEE788 (an inhibitor of EGFR and VEGFR tyrosine kinase) as a single agent or with CPT-11 alone were smaller (>50%) than those in control mice. Mice treated with the combination of AEE788 and CPT-11 had significantly smaller tumors (P < 0.01) and complete inhibition of lymph node metastasis. AEE788 alone or in combination with CPT-11 inhibited pEGFR, pVEGFR, and phosphorylated Akt expression on tumor-associated endothelial cells as well as on tumor cells. The combination therapy also significantly decreased microvessel d. and tumor cell proliferation and increased the level of apoptosis in both tumor cells and tumor-associated endothelial cells. Collectively, these data suggest that the dual inhibition of EGFR and VEGFR signaling pathways in tumor cells and tumor-associated endothelial cells in combination with chemotherapy can

provide a new approach to the treatment of colon cancer.

IT 497839-62-0, AEE 788

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(dual inhibition of epidermal growth factor receptor and vascular endothelial growth factor receptor phosphorylation by AEE788 reduces growth and metastasis of human colon carcinoma in an orthotopic nude mouse model)

RN 497839-62-0 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, 6-[4-[(4-ethyl-1-piperazinyl)methyl]phenyl]-N-[(1R)-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT:

THERE ARE 47 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 13 OF 27 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2005:313324 HCAPLUS

DOCUMENT NUMBER:

143:90368

TITLE:

Targeted molecular therapy of anaplastic thyroid

carcinoma with AEE788

AUTHOR (S):

Kim, Seungwon; Schiff, Bradley A.; Yigitbasi, Orhan

G.; Doan, Dao; Jasser, Samar A.; Bekele, B. Nebiyou;

Mandal, Mahitosh; Myers, Jeffrey N.

CORPORATE SOURCE:

Departments of Head and Neck Surgery, University of Texas M.D. Anderson Cancer Center, Houston, TX, USA Molecular Cancer Therapeutics (2005), 4(4), 632-640

SOURCE:

CODEN: MCTOCF; ISSN: 1535-7163

PUBLISHER:

American Association for Cancer Research

DOCUMENT TYPE: Journal LANGUAGE: English

AB Anaplastic thyroid carcinoma (ATC) is one of the most aggressive human malignancies with a mean survival of only 6 mo. The poor prognosis of patients with ATC reflects the current lack of curative therapeutic options and the need for development of novel therapeutic strategies. In this study, we report the results of a preclin. study of AEE788, a dual inhibitor of epidermal growth factor receptor (EGFR) and vascular endothelial growth factor receptor (VEGFR) tyrosine kinases, against ATC. AEE788 was able to inhibit the proliferation and induce apoptosis of ATC cell lines in vitro. Administration of AEE788, alone and in combination with paclitaxel, to athymic nude mice bearing s.c. ATC xenografts inhibited the growth of ATC xenografts by 44% and 69%, resp., compared with the control group. Furthermore, tumors from mice treated with

AEE788, alone and in combination with paclitaxel, showed increase in apoptosis of tumor cells by .apprx. 6- and 8-fold, resp., compared with the control group. The microvessel d. within the ATC xenografts was decreased by > 80% in the mice treated with AEE788 alone and in combination with paclitaxel compared with the control group. Lastly, immunofluorescence microscopy showed the inhibition of EGFR autophosphorylation on the tumor cells as well as the inhibition of VEGFR-2 autophosphorylation on tumor endothelium. Considering the fact that curative options seldom exist for patients with ATC, concurrent inhibition of EGFR and VEGFR tyrosine kinases seems to be a valid and promising anticancer strategy for these patients.

IT 497839-62-0, AEE 788

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL

(Biological study); USES (Uses)

(therapy of anaplastic thyroid carcinoma with AEE788)

RN 497839-62-0 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, 6-[4-[(4-ethyl-1-

piperazinyl)methyl]phenyl]-N-[(1R)-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT: 45 THERE ARE 45 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 14 OF 27 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:206832 HCAPLUS

DOCUMENT NUMBER: 143:517

TITLE: AEE788, a dual tyrosine kinase receptor inhibitor,

induces endothelial cell apoptosis in human cutaneous

squamous cell carcinoma xenografts in nude mice

AUTHOR(S): Park, Young Wook; Younes, Maher N.; Jasser, Samar A.;

Yigitbasi, Orhan G.; Zhou, Ge; Bucana, Corazon D.;

Bekele, Benjamin N.; Myers, Jeffrey N.

CORPORATE SOURCE: Department of Head and Neck Surgery, University of

Texas M.D. Anderson Cancer Center, Houston, TX, USA

SOURCE: Clinical Cancer Research (2005), 11(5), 1963-1973

CODEN: CCREF4; ISSN: 1078-0432

PUBLISHER: American Association for Cancer Research

DOCUMENT TYPE: Journal LANGUAGE: English

AB We investigated whether concomitant blockade of the epidermal growth factor receptor (EGFR) and vascular endothelial growth factor receptor (VEGFR) signaling pathways by AEE788, a dual inhibitor of EGFR and VEGFR tyrosine kinases, would inhibit the growth of cutaneous squamous cell

carcinoma (SCC) cells and human cutaneous cancer xenografts in nude mice. We examined the effects of AEE788 on the phosphorylation of EGFR and VEGFR-2 in cutaneous SCC cells expressing EGFR and VEGFR-2 and cutaneous SCC cell growth and apoptosis. We assessed the in vivo antitumor effects of AEE788 in a xenograft model in nude mice. AEE788 (50 mg/kg) was given orally thrice weekly to mice that had been s.c. injected with CoLo16 tumor cells. Mechanisms of in vivo AEE788 activity were determined by immunohistochem. anal. Treatment of cutaneous SCC cells with AEE788 led to dose-dependent inhibition of EGFR and VEGFR-2 phosphorylation, growth inhibition, and induction of apoptosis. In mice treated with AEE788, tumor growth was inhibited by 54% at 21 days after the start of treatment compared with control mice (P < 0.01). Immunohistochem. anal. revealed that AEE788 inhibited phosphorylation of EGFR and VEGFR and induced apoptosis of tumor cells and tumor-associated endothelial cells. In addition to inhibiting cutaneous cancer cell growth by blocking EGFR and VEGFR signaling pathways in vitro, AEE788 inhibited in vivo tumor growth by inducing tumor and endothelial cell apoptosis.

IT 497839-62-0, AEE 788

> RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(AEE788 inhibited phosphorylation of EGFR and VEGFR and induced apoptosis in human CoLo16, SRB1, SRB12 cutaneous SCC cells and in mouse model xenografted with CoLo16 cutaneous SCC cell line with inhibition of tumor growth, prolonged survival)

RN 497839-62-0 HCAPLUS

1H-Pyrrolo[2,3-d]pyrimidin-4-amine, 6-[4-[(4-ethyl-1-CNpiperazinyl)methyl]phenyl]-N-[(1R)-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT:

THERE ARE 49 CITED REFERENCES AVAILABLE FOR THIS 49 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 15 OF 27 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2005:158541 HCAPLUS

DOCUMENT NUMBER: TITLE:

142:254570

INVENTOR (S):

Dosing schedule for erbB2 anticancer agents

Bhattacharya, Samit Kumar, Connell, Richard Damian; Moyer, James Dale; Jani, Jitesh Pranlal; Noe, Dennis

Alan; Steyn, Stefanus Johannes

PATENT ASSIGNEE(S):

Pfizer Products Inc., USA

SOURCE:

PCT Int. Appl., 57 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT N	Ю.		KIN	D	DATE		1	APPL	ICAT	ION 1	NO.		D	ATE	
WO 20050	16347	-	A1	-	2005	0224	,	WO 2	004-	IB25	80		2	0040	 806
W:	AE, AG	, AL,	AM,	ΑT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
	CN, CC	, CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
	GE, GH	, GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,
	LK, LF	, LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,
	NO, NZ	, OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,
	TJ, TM	, TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW
RW:	BW, GF	, GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,
	AZ, BY	, KG,	KZ,	MD,	RU,	ТJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,
	EE, ES	, FI,	FR,	GB,	GR,	HU,	ΙE,	IT,	LU,	MC,	NL,	PL,	PT,	RO,	SE,
	SI, SE	TR.	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE.
	SN, TI	, TG	·	·		-						•		•	·
AU 20042	64726	•	A1		2005	0224		AU 2	004-	2647	26		2	0040	806
US 20051	19288		A1		2005	0602	1	US 2	004-	9198	31		2	0040	817
PRIORITY APPL	N. INE	0.:					1	US 2	003-	4959	19P]	P 2	0030	818
							1	WO 2	004-	IB25	80	1	w 2	0040	806

OTHER SOURCE(S): MARPAT 142:254570

The invention discloses methods for treating overexpression of erbB2 in a mammal in need of treatment by administering a therapeutically effective amount of a first inhibitor of an erbB2 receptor and then, after an interval of less than 24 h, administering to the mammal 1-6 therapeutically effective amts. of the same or different inhibitor of the erbB2 receptor. The invention also discloses a slow daily infusion of the erbB2 inhibitor. The overexpression of the erbB2 receptor can result in abnormal cell growth and lead to cancer. By the methods of the invention, the efficacy and safety of the inhibitors is increased. The invention further discloses kits for facilitating the dose administration method of the invention.

IT 497839-62-0, AEE 788

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(erbB2 anticancer agent dosing schedule)

RN 497839-62-0 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, 6-[4-[(4-ethyl-1-piperazinyl)methyl]phenyl]-N-[(1R)-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT:

THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 16 OF 27 HCAPLUS COPYRIGHT 2006 ACS on STN

10

ACCESSION NUMBER: 2005:45892 HCAPLUS

DOCUMENT NUMBER: 142:232698

TITLE: Combination therapy of inhibitors of epidermal growth

factor receptor/vascular endothelial growth factor receptor 2 (AEE788) and the mammalian target of rapamycin (RAD001) offers improved glioblastoma tumor

growth inhibition

AUTHOR(S): Goudar, Ranjit K.; Shi, Qing; Hjelmeland, Mark D.;

Keir, Stephen T.; McLendon, Roger E.; Wikstrand, Carol
J.; Reese, Elizabeth D.; Conrad, Charles A.; Traxler,
Peter; Lane, Heidi A.; Reardon, David A.; Cavenee,
Webster K.; Wang, Xiao-Fan; Bigner, Darell D.;

Friedman, Henry S.; Rich, Jeremy N.

CORPORATE SOURCE: Department of Pathology, Duke University Medical

Center, Durham, NC, USA

SOURCE: Molecular Cancer Therapeutics (2005), 4(1), 101-112

CODEN: MCTOCF; ISSN: 1535-7163

PUBLISHER: American Association for Cancer Research

DOCUMENT TYPE: Journal LANGUAGE: English

Malignant gliomas are highly lethal tumors that display striking genetic AB heterogeneity. Novel therapies that inhibit a single mol. target may slow tumor progression, but tumors are likely not dependent on a signal transduction pathway. Rather, malignant gliomas exhibit sustained mitogenesis and cell growth mediated in part through the effects of receptor tyrosine kinases and the mammalian target of rapamycin (mTOR). AEE788 is a novel orally active tyrosine kinase inhibitor that decreases the kinase activity associated with the epidermal growth factor receptor and, at higher concns., the vascular endothelial growth factor receptor 2 (kinase domain region). RAD001 (everolimus) is an orally available mTOR inhibitor structurally related to rapamycin. We hypothesized that combined inhibition of upstream epidermal growth factor receptor and kinase domain region receptors with AEE788 and inhibition of the downstream mTOR pathway with RAD001 would result in increased efficacy against gliomas compared with single-agent therapy. In vitro expts. showed that the combination of AEE788 and RAD001 resulted in increased rates of cell cycle arrest and apoptosis and reduced proliferation more than either agent alone. Combined AEE788 and RAD001 given orally to athymic mice bearing established human malignant glioma tumor xenografts resulted in greater tumor growth inhibition and greater increases in median survival than monotherapy. These studies suggest that simultaneous inhibition of growth factor receptor and mTOR pathways offer increased benefit in glioma therapy.

IT 497839-62-0, AEE 788

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(combination therapy with inhibitors of epidermal growth factor receptor/vascular_endothelial growth factor_receptor 2 (AEE788) and the mammalian target of rapamycin (RAD001) offers improved glioblastoma tumor growth inhibition)

RN 497839-62-0 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, 6-[4-[(4-ethyl-1-piperazinyl)methyl]phenyl]-N-[(1R)-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT: 42 THERE ARE 42 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 17 OF 27 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:1060779 HCAPLUS

DOCUMENT NUMBER: 142:38274

TITLE: Preparation of 7H-pyrrolo[2,3-d]pyrimidines as protein

tyrosine kinase inhibitors

INVENTOR(S): Bold, Guido; Capraro, Hans-Georg; Caravatti, Giorgio;

Traxler, Peter

PATENT ASSIGNEE(S): Switz.

SOURCE: U.S. Pat. Appl. Publ., 41 pp., Cont.-in-part of U.S.

Ser. No. 485,747.

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PAT	ENT	NO.			KIN	D	DATE		Ĩ	APPL	ICAT:	ION I	NO.		D	ATE	
						-									-		
US :	2004	2489	11		A1		2004	1209	Ţ	US 2	004-1	7830	00		2	0040	220
WO :	2003	0135	41		A1		2003	0220	1	WO 2	002-1	EP87	80		2	0020	806
WO :	2003	0135	41		C1		2004	0226									
	W:	ΑE,	AG,	AL,	AM,	AT	, AU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	ΒZ,	CA,	CH,	CN,
		CO,	CR,	CU,	CZ,	DE	, DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,
		HR,	HU,	ID,	ΙL,	IN	, IS,	JP,	KΕ,	KG,	ΚP,	KR,	ΚZ,	LC,	LK,	LT,	LU,
		LV,	MA,	MD,	MK,	MN	, MX,	NO,	ΝZ,	OM,	PH,	PL,	PT,	RO,	RU,	SE,	SG,
		SI,	SK,	ТJ,	TM,	TN	TR,	TT,	UA,	US,	UZ,	VC,	VN,	YU,	ZA,	ZW	
	RW:	AM,	ΑZ,	BY,	KG,	ΚZ	, MD,	RU,	ΤJ,	TM,	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,
		DK,	EE,	ES,	FI,	FR	, GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	SK,	TR
US :	2004	2426	00		A1		2004	1202	Į	US 2	004-4	4857	47		2	0040	203
PRIORITY	APP	LN.	INFO	. :					(GB 2	001-	1924	9	1	A 2	0010	807
									Ţ	WO 2	002-1	EP87	80	1	W 2	0020	806
									Ţ	US 2	004-4	4857	47	i	A2 2	0040	203

OTHER SOURCE(S): MARPAT 142:38274

GI

AB Title compds. [I; R1, R2 = H, (substituted) alkyl, cycloalkyl, heterocyclyl, R4Y(C:Z); R4 = (substituted) amino, heterocyclyl; Y = null, alkyl; Z = O, S, imino; R1R2N = heterocyclyl; R3 = heterocyclyl, (substituted) aryl; G = alkylene, CO, alkylenecarbonyl; Q = NH, CO; X = null, alkylene; with provisos], were prepared Thus, (3-chloro-4-fluorophenyl)-[6-[4-(4-ethylpiperazin-1-ylmethyl)phenyl]-7H-pyrrolo[2,3-d]pyrimidin-4-yl]amine (preparation outlined) inhibited the tyrosine kinase activity of HER-1, HER-2, and KDR with IC50 = 0.0031 μM, 0.008 μM, and 0.0107 μM, resp.

IT 497840-89-8P 497841-60-8P

Ι

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic
preparation); THU (Therapeutic use); BIOL (Biological study); PREP
(Preparation); RACT (Reactant or reagent); USES (Uses)
 (claimed compound; preparation of pyrrolopyrimidines as protein tyrosine
 kinase inhibitors)

RN 497840-89-8 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-(6-methoxy-3-pyridinyl)-6-[4-(4-morpholinylmethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 497841-60-8 HCAPLUS

CN Piperazine, 1-ethyl-4-[4-[4-[(4-fluoro-2-methyl-1H-indol-5-yl)oxy]-1H-pyrrolo[2,3-d]pyrimidin-6-yl]benzoyl]- (9CI) (CA INDEX NAME)

IT 497839-48-2P 497839-49-3P 497839-50-6P 497839-51-7P 497839-52-8P 497839-53-9P 497839-54-0P 497839-55-1P 497839-56-2P 497839-57-3P 497839-58-4P 497839-59-5P 497839-60-8P 497839-61-9P 497839-62-0P 497839-63-1P 497839-64-2P 497839-65-3P 497839-66-4P 497839-67-5P 497839-68-6P 497839-69-7P 497839-70-0P 497839-71-1P 497839-72-2P 497839-73-3P 497839-74-4P 497839-75-5P 497839-76-6P 497839-77-7P 497839-78-8P 497839-79-9P 497839-80-2P 497839-81-3P 497839-82-4P 497839-83-5P 497839-84-6P 497839-85-7P 497839-86-8P 497839-87-9P 497839-88-0P 497839-89-1P 497839-90-4P 497839-91-5P 497839-92-6P 497839-93-7P 497839-94-8P 497839-95-9P 497839-96-0P 497839-97-1P 497839-98-2P 497839-99-3P 497840-00-3P 497840-01-4P 497840-02-5P 497840-04-7P 497840-07-0P 497840-09-2P 497840-11-6P 497840-13-8P 497840-15-0P 497840-17-2P 497840-19-4P 497840-20-7P 497840-22-9P 497840-23-0P 497840-24-1P 497840-25-2P 497840-26-3P 497840-27-4P 497840-28-5P 497840-29-6P 497840-30-9P 497840-31-0P 497840-32-1P 497840-33-2P 497840-34-3P 497840-35-4P 497840-36-5P 497840-37-6P 497840-38-7P 497840-39-8P 497840-40-1P 497840-41-2P 497840-42-3P 497840-43-4P 497840-44-5P 497840-45-6P 497840-46-7P 497840-48-9P 497840-49-0P 497840-50-3P 497840-51-4P 497840-52-5P 497840-53-6P 497840-54-7P 497840-55-8P 497840-56-9P 497840-57-0P 497840-58-1P 497840-59-2P 497840-60-5P 497840-61-6P 497840-62-7P 497840-63-8P 497840-64-9P 497840-65-0P 497840-66-1P 497840-67-2P 497840-68-3P 497840-69-4P 497840-70-7P 497840-71-8P 497840-72-9P 497840-73-0P 497840-74-1P 497840-75-2P 497840-76-3P 497840-77-4P 497840-78-5P 497840-79-6P 497840-80-9P 497840-81-0P 497840-82-1P 497840-83-2P 497840-84-3P 497840-85-4P 497840-86-5P 497840-87-6P

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     497840-95-6P 497840-96-7P 497840-97-8P
     497840-98-9P 497840-99-0P 497841-00-6P
     497841-01-7P 497841-02-8P 497841-04-0P
     497841-05-1P 497841-06-2P 497841-07-3P
     497841-08-4P 497841-09-5P 497841-10-8P
     497841-11-9P 497841-12-0P 497841-13-1P
     497841-14-2P 497841-15-3P 497841-16-4P
     497841-17-5P 497841-18-6P 497841-19-7P
     497841-20-0P 497841-21-1P 497841-22-2P
     497841-61-9P 497841-62-0P 497841-63-1P
     497841-64-2P 497848-06-3P 803706-06-1P
     803706-07-2P
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
        (claimed compound; preparation of pyrrolopyrimidines as protein tyrosine
        kinase inhibitors)
     497839-48-2 HCAPLUS
RN
     1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-(3-chloro-4-fluorophenyl)-6-[4-
CN
     [(dimethylamino)methyl]phenyl]- (9CI) (CA INDEX NAME)
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RN 497839-49-3 HCAPLUS
CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-(3-chloro-4-fluorophenyl)-6-[4-[(diethylamino)methyl]phenyl]- (9CI) (CA INDEX NAME)

RN 497839-50-6 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-(3-chloro-4-fluorophenyl)-6-[4-[(4-ethyl-1-piperazinyl)methyl]phenyl]- (9CI) (CA INDEX NAME)

RN 497839-51-7 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-(3-chloro-4-fluorophenyl)-6-[4-(1-pyrrolidinylmethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 497839-52-8 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-(3-chloro-4-fluorophenyl)-6-[4-[(4-methyl-1-piperazinyl)methyl]phenyl]- (9CI) (CA INDEX NAME)

RN 497839-53-9 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-(3-chloro-4-fluorophenyl)-6-[4-(1-piperidinylmethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 497839-54-0 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-(3-chloro-4-fluorophenyl)-6-[4-(4-morpholinylmethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 497839-55-1 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-(3-chloro-4-fluorophenyl)-6-[4-[(3,5-dimethyl-1-piperazinyl)methyl]phenyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} & & \\ & \\ N \\ & \\ N \\ & \\ \end{array}$$

RN 497839-56-2 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-(3-chloro-4-fluorophenyl)-6-[4-[[(tetrahydro-2H-pyran-4-yl)amino]methyl]phenyl]- (9CI) (CA INDEX NAME)

RN 497839-57-3 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-(3-chloro-4-fluorophenyl)-6-[4-[[[2-(4-morpholinyl)ethyl]amino]methyl]phenyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} H \\ N \\ N \\ N \\ \end{array}$$

RN 497839-58-4 HCAPLUS

CN 1,2-Ethanediamine, N'-[[4-[4-[(3-chloro-4-fluorophenyl)amino]-1H-pyrrolo[2,3-d]pyrimidin-6-yl]phenyl]methyl]-N,N-diethyl- (9CI) (CA INDEX NAME)

RN 497839-59-5 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-(3-chloro-4-fluorophenyl)-6-[4-[[(1-methylethyl)amino]methyl]phenyl]- (9CI) (CA INDEX NAME)

RN 497839-60-8 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, 6-[4-[(4-methyl-1-piperazinyl)methyl]phenyl]-N-[(1R)-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497839-61-9 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, 6-[4-[(diethylamino)methyl]phenyl]-N-[(1R)-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497839-62-0 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, 6-[4-[(4-ethyl-1-piperazinyl)methyl]phenyl]-N-[(1R)-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497839-63-1 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-[(1R)-1-phenylethyl]-6-[4-(1-pyrrolidinylmethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 497839-64-2 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, 6-[4-[(dimethylamino)methyl]phenyl]-N-[(1R)-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497839-65-3 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-[(1R)-1-phenylethyl]-6-[4-(1-piperidinylmethyl)phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497839-66-4 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, 6-[4-(4-morpholinylmethyl)phenyl]-N[(1R)-1-phenylethyl]- (9CI) (CA INDEX NAME)

RN 497839-67-5 HCAPLUS
CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, 6-[4-[(3,5-dimethyl-1-piperazinyl)methyl]phenyl]-N-[(1R)-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497839-68-6 HCAPLUS
CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, 6-[4-[[[2-(4-morpholinyl)ethyl]amino]methyl]phenyl]-N-[(1R)-1-phenylethyl]- (9CI) (CAINDEX NAME)

RN 497839-69-7 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-[(1R)-1-phenylethyl]-6-[4-[[(tetrahydro-2H-pyran-4-yl)amino]methyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497839-70-0 HCAPLUS

CN 1,2-Ethanediamine, N,N-diethyl-N'-[[4-[4-[(1R)-1-phenylethyl]amino]-1H-pyrrolo[2,3-d]pyrimidin-6-yl]phenyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497839-71-1 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, 6-[4-[[(1,1-dimethylethyl)amino]methyl]phenyl]-N-[(1R)-1-phenylethyl]- (9CI) (CA INDEX NAME)

RN 497839-72-2 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, 6-[4-[(ethylamino)methyl]phenyl]-N-[(1R)-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497839-73-3 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, 6-[4-[(methylamino)methyl]phenyl]-N-[(1R)-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497839-74-4 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, 6-[4-[(dimethylamino)methyl]phenyl]-N-

[4-(phenylmethoxy)phenyl]- (9CI) (CA INDEX NAME)

RN 497839-75-5 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, 6-[4-[(4-methyl-1-piperazinyl)methyl]phenyl]-N-[4-(phenylmethoxy)phenyl]- (9CI) (CA INDEX NAME)

RN 497839-76-6 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-[4-(phenylmethoxy)phenyl]-6-[4-(1-piperidinylmethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 497839-77-7 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, 6-[4-(4-morpholinylmethyl)phenyl]-N-[4-(phenylmethoxy)phenyl]- (9CI) (CA INDEX NAME)

RN 497839-78-8 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, 6-[4-[(diethylamino)methyl]phenyl]-N-[4-(phenylmethoxy)phenyl]- (9CI) (CA INDEX NAME)

RN 497839-79-9 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, 6-[4-[(4-ethyl-1-piperazinyl)methyl]phenyl]-N-[4-(phenylmethoxy)phenyl]- (9CI) (CA INDEX NAME)

RN 497839-80-2 HCAPLUS

CN lH-Pyrrolo[2,3-d]pyrimidin-4-amine, N-[4-(phenylmethoxy)phenyl]-6-[4-(1-pyrrolidinylmethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 497839-81-3 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, 6-[4-[(3,5-dimethyl-1-piperazinyl)methyl]phenyl]-N-[4-(phenylmethoxy)phenyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{NH} \\ \text{NH} \\ \text{Ph-} \text{CH}_2 - \text{O} \end{array}$$

RN 497839-82-4 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, 6-[3-[(dimethylamino)methyl]phenyl]-N-[(1R)-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497839-83-5 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, 6-[3-[(diethylamino)methyl]phenyl]-N-[(1R)-1-phenylethyl]- (9CI) (CA INDEX NAME)

RN 497839-84-6 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, 6-[3-[(4-ethyl-1-piperazinyl)methyl]phenyl]-N-[(1R)-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497839-85-7 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-[(1R)-1-phenylethyl]-6-[3-(1-pyrrolidinylmethyl)phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497839-86-8 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, 6-[3-[(4-methyl-1-

piperazinyl)methyl]phenyl]-N-[(1R)-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497839-87-9 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-[(1R)-1-phenylethyl]-6-[3-(1-piperidinylmethyl)phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497839-88-0 HCAPLUS

CN lH-Pyrrolo[2,3-d]pyrimidin-4-amine, 6-[3-(4-morpholinylmethyl)phenyl]-N-[(1R)-1-phenylethyl]- (9CI) (CA INDEX NAME)

RN 497839-89-1 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, 6-[3-[(3,5-dimethyl-1-piperazinyl)methyl]phenyl]-N-[(1R)-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497839-90-4 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-(3-chloro-4-fluorophenyl)-6-[3-[(dimethylamino)methyl]phenyl]- (9CI) (CA INDEX NAME)

RN 497839-91-5 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-(3-chloro-4-fluorophenyl)-6-[3-[(diethylamino)methyl]phenyl]- (9CI) (CA INDEX NAME)

RN 497839-92-6 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-(3-chloro-4-fluorophenyl)-6-[3-[(4-ethyl-1-piperazinyl)methyl]phenyl]- (9CI) (CA INDEX NAME)

RN 497839-93-7 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-(3-chloro-4-fluorophenyl)-6-[3-(1-pyrrolidinylmethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 497839-94-8 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-(3-chloro-4-fluorophenyl)-6-[3-[(4-methyl-1-piperazinyl)methyl]phenyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ N & & & \\ N & & & \\ NH & & & \\ C1 & & & \\ \end{array}$$

- RN 497839-95-9 HCAPLUS
- CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-(3-chloro-4-fluorophenyl)-6-[3-(1-piperidinylmethyl)phenyl]- (9CI) (CA INDEX NAME)

$$C1$$
 H
 CH_2
 N
 NH
 CH_2

- RN 497839-96-0 HCAPLUS
- CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-(3-chloro-4-fluorophenyl)-6-[3-(4-morpholinylmethyl)phenyl]- (9CI) (CA INDEX NAME)

$$C1$$
 F
 H
 CH_2
 CH_2
 CH_2

RN 497839-97-1 HCAPLUS

CN 1-Piperidineacetamide, N-[[4-[4-[[(1R)-1-phenylethyl]amino]-1H-pyrrolo[2,3-d]pyrimidin-6-yl]phenyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497839-98-2 HCAPLUS

CN 1-Pyrrolidineacetamide, N-[[4-[4-[((1R)-1-phenylethyl]amino]-1H-pyrrolo[2,3-d]pyrimidin-6-yl]phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 497839-99-3 HCAPLUS

CN 4-Morpholineacetamide, N-[[4-[4-[(1R)-1-phenylethyl]amino]-1H-pyrrolo[2,3-d]pyrimidin-6-yl]phenyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497840-00-3 HCAPLUS

CN 1-Piperazineacetamide, 4-methyl-N-[[4-[4-[(1R)-1-phenylethyl]amino]-1H-pyrrolo[2,3-d]pyrimidin-6-yl]phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 497840-01-4 HCAPLUS

CN Acetamide, 2-(dimethylamino)-N-[[4-[4-[(1R)-1-phenylethyl]amino]-1H-pyrrolo[2,3-d]pyrimidin-6-yl]phenyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497840-02-5 HCAPLUS

CN 1-Piperazineacetamide, 4-ethyl-N-[[4-[4-[(1R)-1-phenylethyl]amino]-1H-pyrrolo[2,3-d]pyrimidin-6-yl]phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 497840-04-7 HCAPLUS

CN Acetamide, N-[[4-[4-[(3-chloro-4-fluorophenyl)amino]-1H-pyrrolo[2,3-d]pyrimidin-6-yl]phenyl]methyl]-2-(dimethylamino)- (9CI) (CA INDEX NAME)

RN 497840-07-0 HCAPLUS

CN 1-Piperazineacetamide, N-[[4-[4-[(3-chloro-4-fluorophenyl)amino]-1H-pyrrolo[2,3-d]pyrimidin-6-yl]phenyl]methyl]-4-ethyl- (9CI) (CA INDEX NAME)

RN 497840-09-2 HCAPLUS

CN 4-Morpholineacetamide, N-[[4-[4-[(3-chloro-4-fluorophenyl)amino]-1H-pyrrolo[2,3-d]pyrimidin-6-yl]phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 497840-11-6 HCAPLUS

CN 1-Piperidineacetamide, N-[[4-[4-[(3-chloro-4-fluorophenyl)amino]-1H-pyrrolo[2,3-d]pyrimidin-6-yl]phenyl]methyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} 0 \\ \\ N \\ \\ N \\ \end{array}$$

RN 497840-13-8 HCAPLUS

CN 1-Piperazineacetamide, N-[[4-[4-[(3-chloro-4-fluorophenyl)amino]-1H-pyrrolo[2,3-d]pyrimidin-6-yl]phenyl]methyl]-4-methyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{N} \\ \text{N} \\ \text{N} \\ \text{N} \\ \text{F} \end{array}$$

RN 497840-15-0 HCAPLUS

CN Acetamide, 2-(dimethylamino)-N-[[4-[4-[4-(phenylmethoxy)phenyl]amino]-1H-pyrrolo[2,3-d]pyrimidin-6-yl]phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 497840-17-2 HCAPLUS

CN 1-Piperazineacetamide, 4-methyl-N-[[4-[4-[4-(phenylmethoxy)phenyl]amino]-1H-pyrrolo[2,3-d]pyrimidin-6-yl]phenyl]methyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{Me} \\ \text{NH} \\ \text{NH} \\ \text{Ph-} \text{CH}_2 - \text{O} \end{array}$$

RN 497840-19-4 HCAPLUS

CN 1-Piperidineacetamide, N-[[4-[4-[4-[4-(phenylmethoxy)phenyl]amino]-1H-pyrrolo[2,3-d]pyrimidin-6-yl]phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 497840-20-7 HCAPLUS

CN 1-Piperidinepropanamide, N-[[4-[4-[(1R)-1-phenylethyl]amino]-1H-pyrrolo[2,3-d]pyrimidin-6-yl]phenyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497840-22-9 HCAPLUS

CN Propanamide, 3-(diethylamino)-N-[[4-[4-[(1R)-1-phenylethyl]amino]-1H-pyrrolo[2,3-d]pyrimidin-6-yl]phenyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497840-23-0 HCAPLUS

CN Butanamide, 4-(dimethylamino)-N-[[4-[4-[(1R)-1-phenylethyl]amino]-1H-pyrrolo[2,3-d]pyrimidin-6-yl]phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 497840-24-1 HCAPLUS

2-Pyridinecarboxamide, N-[[4-[4-[((1R)-1-phenylethyl]amino]-1H-pyrrolo[2,3-CN d]pyrimidin-6-yl]phenyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN

497840-25-2 HCAPLUS
Propanamide, N-[[4-[4-[(3-chloro-4-fluorophenyl)amino]-1H-pyrrolo[2,3-CN d]pyrimidin-6-yl]phenyl]methyl]-3-(diethylamino)- (9CI) (CA INDEX NAME)

RN 497840-26-3 HCAPLUS

CN 2-Pyridinecarboxamide, N-[[4-[4-[(3-chloro-4-fluorophenyl)amino]-1H-pyrrolo[2,3-d]pyrimidin-6-yl]phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 497840-27-4 HCAPLUS

CN Butanamide, N-[[4-[4-[(3-chloro-4-fluorophenyl)amino]-1H-pyrrolo[2,3-d]pyrimidin-6-yl]phenyl]methyl]-4-(dimethylamino)- (9CI) (CA INDEX NAME)

RN 497840-28-5 HCAPLUS

CN 1-Piperidinepropanamide, N-[[4-[4-[(3-chloro-4-fluorophenyl)amino]-1H-pyrrolo[2,3-d]pyrimidin-6-yl]phenyl]methyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{CH}_2-\text{NH}-\text{C-CH}_2-\text{CH}_2-\text{NH} \\ \text{NH} \\ \text{CI} \\ \text{F} \end{array}$$

RN 497840-29-6 HCAPLUS

CN Acetamide, 2-(dimethylamino)-N-[[3-[4-[[(1R)-1-phenylethyl]amino]-1H-pyrrolo[2,3-d]pyrimidin-6-yl]phenyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497840-30-9 HCAPLUS

CN 1-Piperazineacetamide, 4-methyl-N-[[3-[4-[[(1R)-1-phenylethyl]amino]-1H-pyrrolo[2,3-d]pyrimidin-6-yl]phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 497840-31-0 HCAPLUS

CN Acetamide, N-[[3-[4-[(3-chloro-4-fluorophenyl)amino]-1H-pyrrolo[2,3-d]pyrimidin-6-yl]phenyl]methyl}-2-(dimethylamino)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ NH & & & \\ NH & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ &$$

RN 497840-32-1 HCAPLUS

CN 1-Piperazineacetamide, N-[[3-[4-[(3-chloro-4-fluorophenyl)amino]-1H-pyrrolo[2,3-d]pyrimidin-6-yl]phenyl]methyl]-4-methyl- (9CI) (CA INDEX NAME)

RN 497840-33-2 HCAPLUS

CN 1-Piperidineacetamide, N-[[3-[4-[(3-chloro-4-fluorophenyl)amino]-1H-pyrrolo[2,3-d]pyrimidin-6-yl]phenyl]methyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ N & & & \\ NH & & & \\ & & \\ & & & \\ & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ &$$

RN 497840-34-3 HCAPLUS

CN Phenol, 2-methyl-5-[[6-[3-[(4-methyl-1-piperazinyl)methyl]phenyl]-1H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ N & & & \\ N & & \\ NH & & \\ & & \\ NH & & \\ \end{array}$$

RN 497840-35-4 HCAPLUS

CN Phenol, 5-[[6-[3-[(dimethylamino)methyl]phenyl]-1H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]-2-methyl- (9CI) (CA INDEX NAME)

RN 497840-36-5 HCAPLUS

CN Phenol, 2-methoxy-5-[[6-[3-[(4-methyl-1-piperazinyl)methyl]phenyl]-1H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]- (9CI) (CA INDEX NAME)

RN 497840-37-6 HCAPLUS

CN Phenol, 5-[[6-[3-[(dimethylamino)methyl]phenyl]-1H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]-2-methoxy- (9CI) (CA INDEX NAME)

RN 497840-38-7 HCAPLUS

CN Phenol, 5-[[6-[4-[(dimethylamino)methyl]phenyl]-1H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]-2-methyl- (9CI) (CA INDEX NAME)

RN 497840-39-8 HCAPLUS

CN Phenol, 2-methyl-5-[[6-[4-[(4-methyl-1-piperazinyl)methyl]phenyl]-1H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]- (9CI) (CA INDEX NAME)

RN 497840-40-1 HCAPLUS

CN Phenol, 5-[[6-[4-[(dimethylamino)methyl]phenyl]-1H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]-2-methoxy- (9CI) (CA INDEX NAME)

RN 497840-41-2 HCAPLUS

CN Phenol, 2-methoxy-5-[[6-[4-[(4-methyl-1-piperazinyl)methyl]phenyl]-1H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]- (9CI) (CA INDEX NAME)

RN 497840-42-3 HCAPLUS

CN Phenol, 2-methoxy-5-[[6-[4-(4-morpholinylmethyl)phenyl]-1H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]- (9CI) (CA INDEX NAME)

RN 497840-43-4 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-[(1R)-1-(4-chlorophenyl)ethyl]-6-[4-[(dimethylamino)methyl]phenyl]- (9CI) (CA INDEX NAME)

RN 497840-44-5 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-[(1R)-1-(4-chlorophenyl)ethyl]-6-[4-[(4-methyl-1-piperazinyl)methyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497840-45-6 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-[(1R)-1-(4-chlorophenyl)ethyl]-6-[4-(4-morpholinylmethyl)phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497840-46-7 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-(3-chlorophenyl)-6-[4-[(dimethylamino)methyl]phenyl]-, hydrochloride (9CI) (CA INDEX NAME)

•x HCl

RN 497840-48-9 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-(3-chlorophenyl)-6-[4-[(4-methyl-1-piperazinyl)methyl]phenyl]-, dihydrochloride (9CI) (CA INDEX NAME)

●2 HCl

RN 497840-49-0 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-(3-chlorophenyl)-6-[4-(4-morpholinylmethyl)phenyl]-, hydrochloride (9CI) (CA INDEX NAME)

●x HCl

RN 497840-50-3 HCAPLUS

CN Ethanol, 2,2'-[[[4-[4-[(1R)-1-phenylethyl]amino]-7H-pyrrolo[2,3-d]pyrimidin-6-yl]phenyl]methyl]imino]bis-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497840-51-4 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-[(3-chlorophenyl)methyl]-6-[4-[(4-ethyl-1-piperazinyl)methyl]phenyl]- (9CI) (CA INDEX NAME)

RN 497840-52-5 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-[(3-chlorophenyl)methyl]-6-[4-[(dimethylamino)methyl]phenyl]- (9CI) (CA INDEX NAME)

RN 497840-53-6 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-[(3-chlorophenyl)methyl]-6-[4-(1-piperidinylmethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 497840-54-7 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-[(3-chlorophenyl)methyl]-6-[4-(4-morpholinylmethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 497840-55-8 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-[(3-chlorophenyl)methyl]-6-[4-[(diethylamino)methyl]phenyl]- (9CI) (CA INDEX NAME)

RN 497840-56-9 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-[(3-chlorophenyl)methyl]-6-[4-(1-pyrrolidinylmethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 497840-57-0 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-[(3-chlorophenyl)methyl]-6-[4-[(4-methyl-1-piperazinyl)methyl]phenyl]- (9CI) (CA INDEX NAME)

RN 497840-58-1 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-[(2-chlorophenyl)methyl]-6-[4-[(dimethylamino)methyl]phenyl]- (9CI) (CA INDEX NAME)

RN 497840-59-2 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-[(2-chlorophenyl)methyl]-6-[4-[(4-ethyl-1-piperazinyl)methyl]phenyl]- (9CI) (CA INDEX NAME)

RN 497840-60-5 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-[(2-chlorophenyl)methyl]-6-[4-(1-piperidinylmethyl)phenyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} H \\ N \\ N \\ \end{array}$$

RN 497840-61-6 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-[(2-chlorophenyl)methyl]-6-[4-(4-morpholinylmethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 497840-62-7 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-[(2-chlorophenyl)methyl]-6-[4-[(4-methyl-1-piperazinyl)methyl]phenyl]- (9CI) (CA INDEX NAME)

RN 497840-63-8 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-[(2-chlorophenyl)methyl]-6-[4-(1-pyrrolidinylmethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 497840-64-9 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-[(2,5-dichlorophenyl)methyl]-6-[4-[(4-ethyl-1-piperazinyl)methyl]phenyl]- (9CI) (CA INDEX NAME)

RN 497840-65-0 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-[(2,5-dichlorophenyl)methyl]-6-[4-[(dimethylamino)methyl]phenyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{C1} \\ \text{CH}_2 \\ \text{NH} \\ \text{NH} \\ \text{NH} \end{array}$$

RN 497840-66-1 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-[(2,5-dichlorophenyl)methyl]-6-[4-(4-morpholinylmethyl)phenyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} H \\ N \\ N \\ NH \\ CH_2 \\ C1 \\ \end{array}$$

RN 497840-67-2 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-[(2,5-dichlorophenyl)methyl]-6-[4-(1-piperidinylmethyl)phenyl]- (9CI) (CA INDEX NAME)

$$C1$$
 H
 CH_2
 $C1$
 $C1$

RN 497840-68-3 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-[(2,5-dichlorophenyl)methyl]-6-[4-[(diethylamino)methyl]phenyl]- (9CI) (CA INDEX NAME)

RN 497840-71-8 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, 6-[4-[(dimethylamino)methyl]phenyl]-N[(3-methoxyphenyl)methyl]- (9CI) (CA INDEX NAME)

RN 497840-72-9 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, 6-[4-[(diethylamino)methyl]phenyl]-N-[(3-methoxyphenyl)methyl]- (9CI) (CA INDEX NAME)

RN 497840-73-0 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-[(3-methoxyphenyl)methyl]-6-[4-(1-pyrrolidinylmethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 497840-69-4 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-[(2,5-dichlorophenyl)methyl]-6-[4-[(4-methyl-1-piperazinyl)methyl]phenyl]- (9CI) (CA INDEX NAME)

RN 497840-70-7 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-[(2,5-dichlorophenyl)methyl]-6-[4-(1-pyrrolidinylmethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 497840-74-1 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-[(3-methoxyphenyl)methyl]-6-[4-(1-piperidinylmethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 497840-75-2 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-[(3-methoxyphenyl)methyl]-6-[4-(4-morpholinylmethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 497840-76-3 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-[(3-methoxyphenyl)methyl]-6-[4-[(4-methyl-1-piperazinyl)methyl]phenyl]- (9CI) (CA INDEX NAME)

RN 497840-77-4 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, 6-[4-[(4-ethyl-1-piperazinyl)methyl]phenyl]-N-[(3-methoxyphenyl)methyl]- (9CI) (CA INDEX NAME)

RN 497840-78-5 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-[(3-methylphenyl)methyl]-6-[4-(1-piperidinylmethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 497840-79-6 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-[(3-methylphenyl)methyl]-6-[4-(4-morpholinylmethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 497840-80-9 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, 6-[4-[(dimethylamino)methyl]phenyl]-N[(3-methylphenyl)methyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{CH}_2 \\ \text{NH} \\ \text{N} \\ \text{N}$$

RN 497840-81-0 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, 6-[4-[(diethylamino)methyl]phenyl]-N-[(3-methylphenyl)methyl]- (9CI) (CA INDEX NAME)

RN 497840-82-1 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-[(3-methylphenyl)methyl]-6-[4-(1-pyrrolidinylmethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 497840-83-2 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-[(3-methylphenyl)methyl]-6-[4-[(4-methyl-1-piperazinyl)methyl]phenyl]- (9CI) (CA INDEX NAME)

RN 497840-84-3 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, 6-[4-[(4-ethyl-1-piperazinyl)methyl]phenyl]-N-[(3-methylphenyl)methyl]- (9CI) (CA INDEX NAME)

RN 497840-85-4 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-1,3-benzodioxol-5-yl-6-[4-[(4-methyl-1-piperazinyl)methyl]phenyl]- (9CI) (CA INDEX NAME)

RN 497840-86-5 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-1,3-benzodioxol-5-yl-6-[4-[(4-ethyl-1-piperazinyl)methyl]phenyl]- (9CI) (CA INDEX NAME)

RN 497840-87-6 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-1,3-benzodioxol-5-yl-6-[4-[(dimethylamino)methyl]phenyl]- (9CI) (CA INDEX NAME)

RN 497840-88-7 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-1,3-benzodioxol-5-yl-6-[4-(4-morpholinylmethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 497840-90-1 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-(6-methoxy-3-pyridinyl)-6-[4-[(4-methyl-1-piperazinyl)methyl]phenyl]- (9CI) (CA INDEX NAME)

RN 497840-91-2 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, 6-[4-[(dimethylamino)methyl]phenyl]-N-(6-methoxy-3-pyridinyl)- (9CI) (CA INDEX NAME)

RN 497840-92-3 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, 6-[4-[(4-ethyl-1-piperazinyl)methyl]phenyl]-N-(6-methoxy-3-pyridinyl)- (9CI) (CA INDEX NAME)

RN 497840-93-4 HCAPLUS

CN 2(1H)-Pyridinone, 5-[[6-[4-(4-morpholinylmethyl)phenyl]-1H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]- (9CI) (CA INDEX NAME)

RN 497840-94-5 HCAPLUS

CN 2(1H)-Pyridinone, 5-[[6-[4-[(dimethylamino)methyl]phenyl]-1H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]- (9CI) (CA INDEX NAME)

RN 497840-95-6 HCAPLUS

CN 2(1H)-Pyridinone, 5-[[6-[4-[(4-methyl-1-piperazinyl)methyl]phenyl]-1H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]- (9CI) (CA INDEX NAME)

RN 497840-96-7 HCAPLUS

CN 2(1H)-Pyridinone, 5-[[6-[4-[(4-ethyl-1-piperazinyl)methyl]phenyl]-1H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]- (9CI) (CA INDEX NAME)

RN 497840-97-8 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, 6-[4-[(4-ethyl-1-piperazinyl)methyl]phenyl]-N-[(6-methoxy-3-pyridinyl)methyl]- (9CI) (CA INDEX NAME)

RN 497840-98-9 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-[(6-methoxy-3-pyridiny1)methy1]-6-[4-(4-morpholiny1methy1)pheny1]- (9CI) (CA INDEX NAME)

RN 497840-99-0 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, 6-[4-[(dimethylamino)methyl]phenyl]-N-[(6-methoxy-3-pyridinyl)methyl]- (9CI) (CA INDEX NAME)

RN 497841-00-6 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, 6-[4-[(4-ethyl-1-piperazinyl)methyl]phenyl]-N-[(2-methoxy-4-pyridinyl)methyl]- (9CI) (CA INDEX NAME)

RN 497841-01-7 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-[(2-methoxy-4-pyridinyl)methyl]-6-[4-(4-morpholinylmethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 497841-02-8 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, 6-[4-[(dimethylamino)methyl]phenyl]-N-[(2-methoxy-4-pyridinyl)methyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{MeO} \\ \text{N} \\ \text{CH}_2 \\ \text{NH} \\ \text{N} \\ \text{N$$

RN 497841-04-0 HCAPLUS
CN 2(1H)-Pyridinone, 5-[[[6-[4-[(4-ethyl-1-piperazinyl)methyl]phenyl]-1H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]methyl]- (9CI) (CA INDEX NAME)

RN 497841-05-1 HCAPLUS
CN 2(1H)-Pyridinone, 5-[[[6-[4-[(dimethylamino)methyl]phenyl]-1H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]methyl]- (9CI) (CA INDEX NAME)

RN 497841-06-2 HCAPLUS

CN 2(1H)-Pyridinone, 5-[[[6-[4-(4-morpholinylmethyl)phenyl]-1H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]methyl]- (9CI) (CA INDEX NAME)

RN 497841-07-3 HCAPLUS

CN 2(1H)-Pyridinone, 4-[[[6-[4-[(4-ethyl-1-piperazinyl)methyl]phenyl]-1H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]methyl]- (9CI) (CA INDEX NAME)

RN 497841-08-4 HCAPLUS

CN 2(1H)-Pyridinone, 4-[[[6-[4-(4-morpholinylmethyl)phenyl]-1H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]methyl]- (9CI) (CA INDEX NAME)

RN 497841-09-5 HCAPLUS

CN 2(1H)-Pyridinone, 4-[[[6-[4-[(dimethylamino)methyl]phenyl]-1H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]methyl]- (9CI) (CA INDEX NAME)

RN 497841-10-8 HCAPLUS

CN 2(1H)-Pyridinone, 4-[[[6-[4-[(4-methyl-1-piperazinyl)methyl]phenyl]-1H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]methyl]- (9CI) (CA INDEX NAME)

RN 497841-11-9 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-(2-methoxy-4-pyridinyl)-6-[4-(4-morpholinylmethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 497841-12-0 HCAPLUS

CN 2(1H)-Pyridinone, 4-[[6-[4-(4-morpholinylmethyl)phenyl]-1H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]- (9CI) (CA INDEX NAME)

RN 497841-13-1 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-(2-methoxy-4-pyridinyl)-6-[4-[(4-methyl-1-piperazinyl)methyl]phenyl]- (9CI) (CA INDEX NAME)

RN 497841-14-2 HCAPLUS

CN 2(1H)-Pyridinone, 4-[[6-[4-[(4-methyl-1-piperazinyl)methyl]phenyl]-1H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]- (9CI) (CA INDEX NAME)

RN 497841-15-3 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, 6-[4-[(4-ethyl-1-piperazinyl)methyl]phenyl]-N-(2-methoxy-4-pyridinyl)- (9CI) (CA INDEX NAME)

RN 497841-16-4 HCAPLUS

CN 2(1H)-Pyridinone, 4-[[6-[4-[(4-ethyl-1-piperazinyl)methyl]phenyl]-1H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]- (9CI) (CA INDEX NAME)

RN 497841-17-5 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, 6-[4-[(dimethylamino)methyl]phenyl]-N-(2-methoxy-4-pyridinyl)- (9CI) (CA INDEX NAME)

RN 497841-18-6 HCAPLUS

CN 2(1H)-Pyridinone, 4-[[6-[4-[(dimethylamino)methyl]phenyl]-1H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]- (9CI) (CA INDEX NAME)

RN 497841-19-7 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidine, 6-[4-[(4-ethyl-1-piperazinyl)methyl]phenyl]-4-[(4-fluoro-2-methyl-1H-indol-5-yl)oxy]- (9CI) (CA INDEX NAME)

RN 497841-20-0 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidine, 4-[(4-fluoro-2-methyl-1H-indol-5-yl)oxy]-6-[4-[(4-methyl-1-piperazinyl)methyl]phenyl]- (9CI) (CA INDEX NAME)

RN 497841-21-1 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidine, 4-[(4-fluoro-2-methyl-1H-indol-5-yl)oxy]-6-[4-(4-morpholinylmethyl)phenyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & H & \text{Me} \\ \hline & N & \text{Me} \\ \hline & N & \text{CH}_2 - N \\ \hline & N & \text{NH} \\ \end{array}$$

RN 497841-22-2 HCAPLUS

CN Benzenemethanamine, 4-[4-[(4-fluoro-2-methyl-1H-indol-5-yl)oxy]-1H-pyrrolo[2,3-d]pyrimidin-6-yl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497841-62-0 HCAPLUS
CN Piperazine, 1-[4-[4-[(4-fluoro-2-methyl-1H-indol-5-yl)oxy]-1H-pyrrolo[2,3-d]pyrimidin-6-yl]benzoyl]-4-methyl- (9CI) (CA INDEX NAME)

RN 497841-63-1 HCAPLUS
CN Morpholine, 4-[4-[4-[(4-fluoro-2-methyl-1H-indol-5-yl)oxy]-1H-pyrrolo[2,3-d]pyrimidin-6-yl]benzoyl]- (9CI) (CA INDEX NAME)

RN 497841-64-2 HCAPLUS

CN Benzamide, 4-[4-[(4-fluoro-2-methyl-1H-indol-5-yl)oxy]-1H-pyrrolo[2,3-d]pyrimidin-6-yl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & H & Me \\ \hline & N & \\ & & C-NMe_2 \\ \hline & N & \\ & & NH \\ \end{array}$$

RN 497848-06-3 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-[(2-chlorophenyl)methyl]-6-[4-[(diethylamino)methyl]phenyl]- (9CI) (CA INDEX NAME)

RN 803706-06-1 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-(1-phenylethyl)-6-[4-(1-piperazinylmethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 803706-07-2 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-[(1R)-1-phenylethyl]-6-[4-(1-piperazinylmethyl)phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 497841-41-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrrolopyrimidines as protein tyrosine kinase inhibitors)

RN 497841-41-5 HCAPLUS

CN 2-Propenamide, N-[[4-[4-[(1R)-1-phenylethyl]amino]-1H-pyrrolo[2,3-d]pyrimidin-6-yl]phenyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 187724-58-9

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of pyrrolopyrimidines as protein tyrosine kinase inhibitors)

RN 187724-58-9 HCAPLUS

CN Benzamide, 4-[4-[(3-chlorophenyl)amino]-1H-pyrrolo[2,3-d]pyrimidin-6-yl]-N.N-dimethyl- (9CI) (CA INDEX NAME)

IT 497841-36-8P 497841-37-9P 497841-38-0P

497841-39-1P 497841-40-4P 497841-42-6P

497841-43-7P 497841-44-8P 803706-08-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of pyrrolopyrimidines as protein tyrosine kinase inhibitors)

RN 497841-36-8 HCAPLUS

CN Benzonitrile, 4-[4-[[(1R)-1-phenylethyl]amino]-1H-pyrrolo[2,3-d]pyrimidin-6-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497841-37-9 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, 6-[4-(aminomethyl)phenyl]-N-[(1R)-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497841-38-0 HCAPLUS

CN Acetamide, 2-chloro-N-[[4-[4-[(1R)-1-phenylethyl]amino]-1H-pyrrolo[2,3-d]pyrimidin-6-yl]phenyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497841-39-1 HCAPLUS

CN Acetamide, 2-chloro-N-[[4-[4-[(3-chloro-4-fluorophenyl)amino]-1H-pyrrolo[2,3-d]pyrimidin-6-yl]phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 497841-40-4 HCAPLUS

CN Acetamide, 2-chloro-N-[[4-[4-[4-(phenylmethoxy)phenyl]amino]-1H-pyrrolo[2,3-d]pyrimidin-6-yl]phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 497841-42-6 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, 6-[4-(aminomethyl)phenyl]-N-(3-chloro-4-fluorophenyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{C1} \\ \text{NH} \\ \text{NH} \\ \text{NH} \\ \text{NH} \end{array}$$

RN 497841-43-7 HCAPLUS

CN Acetamide, 2-chloro-N-[[3-[4-[[(1R)-1-phenylethyl]amino]-1H-pyrrolo[2,3-d]pyrimidin-6-yl]phenyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497841-44-8 HCAPLUS

CN Acetamide, 2-chloro-N-[[3-[4-[(3-chloro-4-fluorophenyl)amino]-1H-pyrrolo[2,3-d]pyrimidin-6-yl]phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 803706-08-3 HCAPLUS

CN 1-Piperazinecarboxylic acid, 4-[[4-[4-[(1R)-1-phenylethyl]amino]-1H-pyrrolo[2,3-d]pyrimidin-6-yl]phenyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 18 OF 27 HCAPLUS COPYRIGHT 2006 ACS on STN

142:403

ACCESSION NUMBER:

2004:913614 HCAPLUS

DOCUMENT NUMBER: TITLE:

Tumor Cell and Endothelial Cell Therapy of Oral Cancer

by Dual Tyrosine Kinase Receptor Blockade

AUTHOR(S):

Yigitbasi, Orhan G.; Younes, Maher N.; Doan, Dao; Jasser, Samar A.; Schiff, Bradley A.; Bucana, Corazon D.; Bekele, Benjamin N.; Fidler, Isaiah J.; Myers,

Jeffrey N.

CORPORATE SOURCE:

Department of Head and Neck Surgery, The University of

Texas M. D. Anderson Cancer Center, Houston, TX,

77030-4009, USA

SOURCE:

Cancer Research (2004), 64(21), 7977-7984

CODEN: CNREA8; ISSN: 0008-5472

PUBLISHER:

American Association for Cancer Research

DOCUMENT TYPE:

Journal

LANGUAGE:

English

Expression of the epidermal growth factor (EGF) and activation of its ΔR receptor (EGFR), a tyrosine kinase, are associated with progressive growth of head and neck cancer. Expression of the vascular endothelial growth factor (VEGF) is associated with angiogenesis and progressive growth of tumor. The tyrosine kinase inhibitor NVP-AEE788 (AEE788) blocks the EGF and VEGF signaling pathways. We examined the effects of AEE788 administered alone, or with paclitaxel (Taxol), on the progression of human head and neck cancer implanted orthotopically into nude mice. Cells of two different human oral cancer lines, JMAR and MDA1986, were injected into the tongues of nude mice. Mice with established tumors were randomized to receive three times per wk oral AEE788, once weekly injected paclitaxel, AEE788 plus paclitaxel, or placebo. Oral tumors were resected at necropsy. Kinase activity, cell proliferation, apoptosis, and mean vessel d. were determined by immunohistochem. immunofluorescent staining. AEE788 inhibited cell growth, induced apoptosis, and reduced the phosphorylation of EGFR, VEGFR-2, AKT, and mitogen-activated protein kinase in both cell lines. Mice treated with AEE788 and AEE788 plus paclitaxel had decreased microvessel d., decreased proliferative index, and increased apoptosis. Hence, AEE788 inhibited tumor vascularization and growth and prolonged survival. Inhibition of EGFR and VEGFR phosphorylation by AEE788 effectively inhibits cellular proliferation of squamous cell carcinoma of the head and neck, induces apoptosis of tumor endothelial cells and tumor cells, and is well tolerated in mice. These data recommend the consideration of patients with head and neck cancer for inclusion in clin. trials of AEE788.

IT 497839-62-0, AEE 788

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(tumor cell and endothelial cell therapy of oral cancer by dual tyrosine kinase receptor blockade)

RN 497839-62-0 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, 6-[4-[(4-ethyl-1-piperazinyl)methyl]phenyl]-N-[(1R)-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT:

48 THERE ARE 48 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 19 OF 27 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:567549 HCAPLUS

DOCUMENT NUMBER: 141:253894

TITLE: AEE788: A Dual Family Epidermal Growth Factor

Receptor/ErbB2 and Vascular Endothelial Growth Factor Receptor Tyrosine Kinase Inhibitor with Antitumor and

Antiangiogenic Activity

AUTHOR(S):

Traxler, Peter; Allegrini, Peter R.; Brandt, Ralf; Brueggen, Josef; Cozens, Robert; Fabbro, Doriano; Grosios, Konstantina; Lane, Heidi A.; McSheehy, Paul; Mestan, Juergen; Meyer, Thomas; Tang, Careen; Wartmann, Markus; Wood, Jeanette; Caravatti, Giorgio Novartis Institutes for Biomedical Research, Oncology

CORPORATE SOURCE:

Research, Basel, Switz.

SOURCE:

Cancer Research (2004), 64(14), 4931-4941

CODEN: CNREA8; ISSN: 0008-5472

PUBLISHER:

American Association for Cancer Research

DOCUMENT TYPE: Journal English LANGUAGE:

Aberrant epidermal growth factor receptor (EGFR) and ErbB2 expression are associated with advanced disease and poor patient prognosis in many tumor types (breast, lung, ovarian, prostate, glioma, gastric, and squamous carcinoma of head and neck). In addition, a constitutively active EGFR type III deletion mutant has been identified in non-small cell lung cancer, glioblastomas, and breast tumors. Hence, members of the EGFR family are viewed as promising therapeutic targets in the fight against cancer. In a similar vein, vascular endothelial growth factor (VEGF) receptor kinases are also promising targets in terms of an antiangiogenic treatment strategy. AEE788, obtained by optimization of the 7H-pyrrolo[2,3d]pyrimidine lead scaffold, is a potent combined inhibitor of both epidermal growth factor (EGF) and VEGF receptor tyrosine kinase family members on the isolated enzyme level and in cellular systems. At the enzyme level, AEE788 inhibited EGFR and VEGF receptor tyrosine kinases in the nM range (IC50s: EGFR 2 nM, ErbB2 6 nM, KDR 77 nM, and Flt-1 59 nM). In cells, growth factor-induced EGFR and ErbB2 phosphorylation was also efficiently inhibited (IC50s: 11 and 220 nM, resp.). AEE788 demonstrated antiproliferative activity against a range of EGFR and ErbB2-overexpressing cell lines (including EGFRvIII-dependent lines) and inhibited the proliferation of epidermal growth factor- and VEGF-stimulated human umbilical vein endothelial cells. These properties, combined with a favorable pharmacokinetic profile, were associated with a potent antitumor activity in a number of animal models of cancer, including tumors that overexpress EGFR and or ErbB2. Oral administration of AEE788 to tumor-bearing mice resulted in high and persistent compound levels in tumor tissue. Moreover, AEE788 efficiently inhibited growth factor-induced EGFR and ErbB2 phosphorylation in tumors for >72 h, a phenomenon correlating with the antitumor efficacy of intermittent treatment schedules. Strikingly, AEE788 also inhibited VEGF-induced angiogenesis in a murine implant model. Antiangiogenic activity was also apparent by measurement of tumor vascular permeability and interstitial leakage space using dynamic contrast enhanced magnetic resonance imaging methodol. Taken together, these data indicate that AEE788 has potential as an anticancer agent targeting deregulated tumor cell proliferation as well as angiogenic parameters. Consequently, AEE788 is currently in Phase I clin. trials in oncol.

497839-62-0, AEE 788 IT

RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES

(EGFR/ErbB2 and VEGFR tyrosine kinase inhibitor AEE788 with antitumor and antiangiogenic activity)

497839-62-0 HCAPLUS RN

1H-Pyrrolo[2,3-d]pyrimidin-4-amine, 6-[4-[(4-ethyl-1-CN

piperazinyl)methyl]phenyl]-N-{(1R)-1-phenylethyl]- (9CI) (CA INDEX NAME)
Absolute stereochemistry.

REFERENCE COUNT: 49 THERE ARE 49 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 20 OF 27 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:356449 HCAPLUS

DOCUMENT NUMBER: 138:368905

TITLE: Preparation of 7H-pyrrolo[2,3-d]pyrimidine derivatives

for treatment of solid tumor diseases

INVENTOR(S): Ball, Howard Ashley; Cohen, Pamela Sarah; Lee, Lucy;

Ravera, Christina Portrude

PATENT ASSIGNEE(S): Novartis AG, Switz.; Novartis Pharma Gmbh

SOURCE: PCT Int. Appl., 24 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.					KINI)	DATE			APPLICATION NO.				DATE				
_	2003037897								1	WO 2002-EP12024				20021028				
	₩:	AE, CO, HR, LV, SG,	AG, CR, HU, MA, SI,	AL, CU, ID, MD, SK,	AM, CZ, IL, MK, TJ,	AT, DE, IN, MN, TM,	AU, DK, IS, MX, TN,	AZ, DM, JP, MZ, TR,	DZ, KE, NO, TT,	EC KG NZ UA	BG, EE, KP, OM,	ES, KR, PH, UZ,	FI, KZ, PL, VC,	GB, LC, PT, VN,	GD, LK, RO, YU,	GE, LT, RU, ZA,	GH, LU, SE, ZW	
	RW:										, AT, , LU,							
EP 1441736				A2 20040804				:	EP 2002-781294				20021028					
	R:										, IT,					MC,	PT,	
JP 2005507424					T2					JP 2003-540178				20021028				
US 2005038048				A1	20050217				US 2004-493787				20040426					
PRIORITY APPLN. INFO.:									US 2001-340923P									
									1	US 2	2002-	3616	55P]	P 2	0020	305	
									1	US 2	2002 - :	3793	65P	1	P 2	0020	509	
									1	WO 2	2002-1	EP12	024	Į	<i>d</i> 2	0021	028	

OTHER SOURCE(S): MARPAT 138:368905

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IT

Title compds. I [wherein R1 and R2 = independently H or (un) substituted AB (cyclo)alkyl, heterocyclyl, or R4YCZ, with the proviso that R1 and R2 ≠ both H; or NR1R2 = heterocyclyl; R3 = heterocyclyl or (un) substituted aryl; R4 = (un) substituted amino or heterocyclyl; G = alkylene, CO, or alkylene-CO; Q = NH or O, with the proviso Q = O if G = COCO or alkylene-CO; X = absent or alkylene, with the proviso R3 = heterocyclyl if X is absent; Y = absent or alkyl; Z = O, S, or NH; or pharmaceutically acceptable salts thereof] were prepared as anticancer agents. For example, substitution of 4-(4-chloro-7H-pyrrolo[2,3d]pyrimidin-6-yl)benzoic acid Et ester with (R)-phenethylamine in BuOH gave the benzenamine. Reduction of the ester using lithium aluminum hydride, followed by reaction with thionyl chloride in toluene afforded the chloromethyl derivative Coupling with N-methylpiperazine in the presence of K2CO3 in DMF yielded II. Thus, I are useful for the treatment of patients suffering from a solid tumor disease selected from carcinoma of the bladder, renal carcinoma, squamous cell carcinoma of the skin, head and neck cancer, especially squamous cell head and neck cancer, lung cancer, especially

II

non small cell lung cancer (NSCLC), tumors of the gastrointestinal tract, glioma, and mesothelioma or metastases of such solid tumor diseases (no data). Also disclosed is a method of administering the title

-7H-pyrrolo[2,,3-d].pyrimidines_over_at_least_a_three_week_time_period_on____
only about 40% to about 71% of the days in the time period (no data).

497839-60-8P, [6-[4-[(4-Methylpiperazin-1-yl)methyl]phenyl]-7H-pyrrolo[2,3-d]pyrimidin-4-yl]((R)-1-phenylethyl)amine 497839-61-9P, [6-[4-[(Diethylamino)methyl]phenyl]-7H-pyrrolo[2,3-d]pyrimidin-4-yl]-((R)-1-phenylethyl)amine 497839-62-0P, [6-[4-[(4-Ethylpiperazin-1-yl)methyl]phenyl]-7H-pyrrolo[2,3-d]pyrimidin-4-yl]-((R)-1-phenylethyl)amine 497839-63-1P, ((R)-1-Phenylethyl)[6-[4-

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(pyrrolidin-1-ylmethyl) phenyl] -7H-pyrrolo[2,3-d]pyrimidin-4-yl] amine
    497839-64-2P, [6-(4-Dimethylaminomethylphenyl)-7H-pyrrolo[2,3-
    d]pyrimidin-4-yl]-((R)-1-phenylethyl)amine 497839-65-3P,
     ((R)-1-Phenylethyl)[6-[4-(piperidin-1-ylmethyl)phenyl]-7H-pyrrolo[2,3-
    d]pyrimidin-4-yl]amine 497839-66-4P, [6-[4-(Morpholin-4-
    ylmethyl)phenyl]-7H-pyrrolo[2,3-d]pyrimidin-4-yl]-((R)-1-phenylethyl)amine
    497839-67-5P, [6-[4-[(3,5-Dimethylpiperazin-1-yl)methyl]phenyl]-7H-
    pyrrolo[2,3-d]pyrimidin-4-yl]((R)-1-phenylethyl)amine 497839-68-6P
     , [6-[4-[[[2-(Morpholin-4-yl)ethyl]amino]methyl]phenyl]-7H-pyrrolo[2,3-
    d]pyrimidin-4-yl] ((R)-1-phenylethyl) amine 497839-69-7P,
     ((R)-1-Phenylethyl) [6-[4-[(tetrahydropyran-4-ylamino)methyl]phenyl]-7H-
    pyrrolo[2,3-d]pyrimidin-4-yl]amine
    RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
        (antitumor agent; preparation of pyrrolopyrimidines for treatment of solid
        tumor diseases)
     497839-60-8 HCAPLUS
RN
     1H-Pyrrolo[2,3-d]pyrimidin-4-amine, 6-[4-[(4-methyl-1-
CN
     piperazinyl)methyl]phenyl]-N-[(1R)-1-phenylethyl]- (9CI)
                                                                (CA INDEX NAME)
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Absolute stereochemistry.

RN 497839-61-9 HCAPLUS
CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, 6-[4-[(diethylamino)methyl]phenyl]-N[(1R)-1-phenylethyl]- (9CI) (CA INDEX NAME)

RN 497839-62-0 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, 6-[4-[(4-ethyl-1-piperazinyl)methyl]phenyl]-N-[(1R)-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497839-63-1 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-[(1R)-1-phenylethyl]-6-[4-(1-pyrrolidinylmethyl)phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497839-64-2 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, 6-[4-[(dimethylamino)methyl]phenyl]-N-[(1R)-1-phenylethyl]- (9CI) (CA INDEX NAME)

RN 497839-65-3 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-[(1R)-1-phenylethyl]-6-[4-(1-piperidinylmethyl)phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497839-66-4 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, 6-[4-(4-morpholinylmethyl)phenyl]-N-[(1R)-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497839-67-5 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, 6-[4-[(3,5-dimethyl-1-

piperazinyl)methyl]phenyl]-N-[(1R)-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497839-68-6 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, 6-[4-[[[2-(4-morpholinyl)ethyl]amino]methyl]phenyl]-N-[(1R)-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497839-69-7 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-[(1R)-1-phenylethyl]-6-[4-[[(tetrahydro-2H-pyran-4-yl)amino]methyl]phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 21 OF 27 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2003:133054 HCAPLUS

DOCUMENT NUMBER:

138:170253

TITLE:

Preparation of 4-amino-6-phenyl-pyrrolo[2,3-

d]pyrimidines as protein tyrosine kinase inhibitors Bold, Guido; Capraro, Hans-Georg; Caravatti, Giorgio;

INVENTOR(S):

Traxler, Peter

PATENT ASSIGNEE(S):

Novartis AG, Switz.; Novartis Pharma G.m.b.H.

SOURCE:

PCT Int. Appl., 95 pp. CODEN: PIXXD2

DOCUMENT TYPE:

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English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.		KIND DA	ATE	APPLICATION NO.	DATE	
WO 2003013541 WO 2003013541		A1 20		WO 2002-EP8780	20020806	
	CO, CR, CU, HR, HU, ID, LV, MA, MD, SI, SK, TJ, AM, AZ, BY,	CZ, DE, I IL, IN, I MK, MN, I TM, TN, I KG, KZ, I	DK, DM, DZ IS, JP, KE MX, NO, NZ TR, TT, UA MD, RU, TJ	A, BB, BG, BR, BY, A, EC, EE, ES, FI, C, KG, KP, KR, KZ, C, OM, PH, PL, PT, A, US, UZ, VC, VN, T, TM, AT, BE, BG,	GB, GD, LC, LK, RO, RU, YU, ZA, CH, CY,	GE, GH, LT, LU, SE, SG, ZW CZ, DE,
CA 2453 EP 1416	881	AA 2	0030220	C, IT, LU, MC, NL, CA 2002-2453881 EP 2002-758437	2	-
R:		• •		B, GR, IT, LI, LU, Z, AL, TR, BG, CZ,		MC, PT,
BR 2002011801 CN 1538847		A 2	0041020	BR 2002-11801 20020806 CN 2002-815351 20020806		
JP 2005501077 NZ 530824			_20050113			
ZA 2004 US 2004	242600	A1 2		US 2004-485747	2	20040114
NO 2004 US 2004 PRIORITY APP	248911		0040205 0041209		A 2	20040205 20040220 20010807 20020806

US 2004-485747

A2 20040203

OTHER SOURCE(S):

MARPAT 138:170253

AΒ The title compds. I [R1, R2 = H, alkyl, cycloalkyl, etc.; or NR1R2 = heterocyclyl; R3 = heterocyclyl, (un) substituted aryl; G = alkylene, CO, alkyleneCO wherein the carbonyl group is attached to the NR1R2; Q = NH, O, with the proviso that Q = O if G = CO or alkyleneCO; X is either not present or alkylene, with the proviso that a heterocyclic radical R3 is bonded via a ring carbon if X is not present] and their salts, useful for treatment of a disease which responds to an inhibition of a protein tyrosine kinase, especially for the treatment of a proliferative disease, such as a tumor, were prepared and formulated. E.g., a 4-step synthesis of II, starting from Et 4-(4-chloro-7H-pyrrolo[2,3-d]pyrimidin-6-yl)benzoate and 3-chloro-4-fluoroaniline, was given. Compds. I were tested for their inhibition of the tyrosine kinase activity of EGF-R (HER-1), ErbB-2 (HER-2) and VEGF receptor (KDR) (data given for 21 exemplified compds.). TL 497840-89-8P 497841-60-8P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of 4-amino-6-phenyl-pyrrolo[2,3-d]pyrimidines as protein tyrosine kinase inhibitors)

RN 497840-89-8 HCAPLUS

CN

1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-(6-methoxy-3-pyridinyl)-6-[4-(4-morpholinylmethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 497841-60-8 HCAPLUS
CN Piperazine, 1-ethyl-4-[4-[4-[(4-fluoro-2-methyl-1H-indol-5-yl)oxy]-1H-pyrrolo[2,3-d]pyrimidin-6-yl]benzoyl]- (9CI) (CA INDEX NAME)

IT 497839-48-2P 497839-49-3P 497839-50-6P 497839-51-7P 497839-52-8P 497839-53-9P 497839-54-0P 497839-55-1P 497839-56-2P 497839-57-3P 497839-58-4P 497839-59-5P 497839-60-8P 497839-61-9P 497839-62-0P 497839-63-1P 497839-64-2P 497839-65-3P 497839-66-4P 497839-67-5P 497839-68-6P 497839-69-7P 497839-70-0P 497839-71-1P 497839-72-2P 497839-73-3P 497839-74-4P 497839-75-5P 497839-76-6P 497839-77-7P 497839-78-8P 497839-79-9P 497839-80-2P 497839-81-3P 497839-82-4P 497839-83-5P 497839-84-6P 497839-85-7P 497839-86-8P 497839-87-9P 497839-88-0P 497839-89-1P 497839-90-4P 497839-91-5P 497839-92-6P 497839-93-7P 497839-94-8P 497839-95-9P 497839-96-0P 497839-97-1P 497839-98-2P 497839-99-3P 497840-00-3P 497840-01-4P 497840-02-5P 497840-04-7P 497840-07-0P 497840-09-2P 497840-11-6P 497840-13-8P

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497840-15-0P 497840-17-2P 497840-19-4P
497840-20-7P 497840-22-9P 497840-23-0P
497840-24-1P 497840-25-2P 497840-26-3P
497840-27-4P 497840-28-5P 497840-29-6P
497840-30-9P 497840-31-0P 497840-32-1P
497840-33-2P 497840-34-3P 497840-35-4P
497840-36-5P 497840-37-6P 497840-38-7P
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497840-42-3P 497840-43-4P 497840-44-5P
497840-45-6P 497840-46-7P 497840-47-8P
497840-48-9P 497840-49-0P 497840-50-3P
497840-51-4P 497840-52-5P 497840-53-6P
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497840-57-0P 497840-58-1P 497840-59-2P
497840-60-5P 497840-61-6P 497840-62-7P
497840-63-8P 497840-64-9P 497840-65-0P
497840-66-1P 497840-67-2P 497840-68-3P
497840-69-4P 497840-70-7P 497840-71-8P
497840-72-9P 497840-73-0P 497840-74-1P
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497840-84-3P 497840-85-4P 497840-86-5P
497840-87-6P 497840-88-7P 497840-90-1P
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497840-94-5P 497840-95-6P 497840-96-7P
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497841-03-9P 497841-04-0P 497841-05-1P
497841-06-2P 497841-07-3P 497841-08-4P
497841-09-5P 497841-10-8P 497841-11-9P
497841-12-0P 497841-13-1P 497841-14-2P
497841-15-3P 497841-16-4P 497841-17-5P
497841-18-6P 497841-19-7P 497841-20-0P
497841-21-1P 497841-22-2P 497841-61-9P
497841-62-0P 497841-63-1P 497841-64-2P
497848-06-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)
   (preparation of 4-amino-6-phenyl-pyrrolo[2,3-d]pyrimidines as protein
   tyrosine kinase inhibitors)
497839-48-2 HCAPLUS
1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-(3-chloro-4-fluorophenyl)-6-[4-
[(dimethylamino)methyl]phenyl]- (9CI) (CA INDEX NAME)
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RN

CN

RN 497839-49-3 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-(3-chloro-4-fluorophenyl)-6-[4-[(diethylamino)methyl]phenyl]- (9CI) (CA INDEX NAME)

RN 497839-50-6 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-(3-chloro-4-fluorophenyl)-6-[4-[(4-ethyl-1-piperazinyl)methyl]phenyl]- (9CI) (CA INDEX NAME)

RN 497839-51-7 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-(3-chloro-4-fluorophenyl)-6-[4-(1-pyrrolidinylmethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 497839-52-8 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-(3-chloro-4-fluorophenyl)-6-[4-[(4-methyl-1-piperazinyl)methyl]phenyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} H \\ N \\ N \\ N \\ \end{array}$$

RN 497839-53-9 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-(3-chloro-4-fluorophenyl)-6-[4-(1-piperidinylmethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 497839-54-0 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-(3-chloro-4-fluorophenyl)-6-[4-(4-morpholinylmethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 497839-55-1 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-(3-chloro-4-fluorophenyl)-6-[4-[(3,5-dimethyl-1-piperazinyl)methyl]phenyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{NH} \\ \text{NH} \\ \text{Cl} \\ \text{F} \end{array}$$

RN 497839-56-2 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-(3-chloro-4-fluorophenyl)-6-[4-[[(tetrahydro-2H-pyran-4-yl)amino]methyl]phenyl]- (9CI) (CA INDEX NAME)

RN 497839-57-3 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-(3-chloro-4-fluorophenyl)-6-[4-[[[2-(4-morpholinyl)ethyl]amino]methyl]phenyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} H \\ N \\ N \\ N \\ \end{array}$$

RN 497839-58-4 HCAPLUS

CN 1,2-Ethanediamine, N'-[[4-[4-[(3-chloro-4-fluorophenyl)amino]-1H-pyrrolo[2,3-d]pyrimidin-6-yl]phenyl]methyl]-N,N-diethyl- (9CI) (CA INDEX NAME)

RN 497839-59-5 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-(3-chloro-4-fluorophenyl)-6-[4-[[(1-methylethyl)amino]methyl]phenyl]- (9CI) (CA INDEX NAME)

RN 497839-60-8 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, 6-[4-[(4-methyl-1-piperazinyl)methyl]phenyl]-N-[(1R)-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497839-61-9 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, 6-[4-[(diethylamino)methyl]phenyl]-N[(1R)-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497839-62-0 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, 6-[4-[(4-ethyl-1-piperazinyl)methyl]phenyl]-N-[(1R)-1-phenylethyl]- (9CI) (CA INDEX NAME)

RN 497839-63-1 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-[(1R)-1-phenylethyl]-6-[4-(1-pyrrolidinylmethyl)phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497839-64-2 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, 6-[4-[(dimethylamino)methyl]phenyl]-N-[(1R)-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497839-65-3 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-[(1R)-1-phenylethyl]-6-[4-(1-

piperidinylmethyl)phenyl] - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497839-66-4 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, 6-[4-(4-morpholinylmethyl)phenyl]-N[(1R)-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497839-67-5 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, 6-[4-[(3,5-dimethyl-1-piperazinyl)methyl]phenyl]-N-[(1R)-1-phenylethyl]- (9CI) (CA INDEX NAME)

RN 497839-68-6 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, 6-[4-[[[2-(4-morpholinyl)ethyl]amino]methyl]phenyl]-N-[(1R)-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497839-69-7 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-[(1R)-1-phenylethyl]-6-[4-[[(tetrahydro-2H-pyran-4-yl)amino]methyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497839-70-0 HCAPLUS

CN 1,2-Ethanediamine, N,N-diethyl-N'-[[4-[4-[(1R)-1-phenylethyl]amino]-1H-pyrrolo[2,3-d]pyrimidin-6-yl]phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 497839-71-1 HCAPLUS
CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, 6-[4-[[(1,1-dimethylethyl)amino]methyl]phenyl]-N-[(1R)-1-phenylethyl]- (9CI) (CA TNDEX NAME)

Absolute stereochemistry.

RN 497839-72-2 HCAPLUS
CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, 6-[4-[(ethylamino)methyl]phenyl]-N[(1R)-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497839-73-3 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, 6-[4-[(methylamino)methyl]phenyl]-N-[(1R)-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497839-74-4 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, 6-[4-[(dimethylamino)methyl]phenyl]-N-[4-(phenylmethoxy)phenyl]- (9CI) (CA INDEX NAME)

RN 497839-75-5 HCAPLUS

.

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, 6-[4-[(4-methyl-1-piperazinyl)methyl]phenyl]-N-[4-(phenylmethoxy)phenyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & \\ N & & \\$$

RN 497839-76-6 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-[4-(phenylmethoxy)phenyl]-6-[4-(1-piperidinylmethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 497839-77-7 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, 6-[4-(4-morpholinylmethyl)phenyl]-N-[4-(phenylmethoxy)phenyl]- (9CI) (CA INDEX NAME)

RN 497839-78-8 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, 6-[4-[(diethylamino)methyl]phenyl]-N-[4-(phenylmethoxy)phenyl]- (9CI) (CA INDEX NAME)

RN 497839-79-9 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, 6-[4-[(4-ethyl-1-piperazinyl)methyl]phenyl]-N-[4-(phenylmethoxy)phenyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ N & & \\ N$$

RN 497839-80-2 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-[4-(phenylmethoxy)phenyl]-6-[4-(1-pyrrolidinylmethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 497839-81-3 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, 6-[4-[(3,5-dimethyl-1-piperazinyl)methyl]phenyl]-N-[4-(phenylmethoxy)phenyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{NH} \\ \text{NH} \\ \text{Ph-} \text{CH}_2 - \text{O} \end{array}$$

RN 497839-82-4 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, 6-[3-[(dimethylamino)methyl]phenyl]-N-[(1R)-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497839-83-5 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, 6-[3-[(diethylamino)methyl]phenyl]-N-[(1R)-1-phenylethyl]- (9CI) (CA INDEX NAME)

RN 497839-84-6 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, 6-[3-[(4-ethyl-1-piperazinyl)methyl]phenyl]-N-[(1R)-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497839-85-7 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-[(1R)-1-phenylethyl]-6-[3-(1-pyrrolidinylmethyl)phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497839-86-8 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, 6-[3-[(4-methyl-1-

piperazinyl)methyl]phenyl]-N-[(1R)-1-phenylethyl]- (9CI) (CA INDEX NAME)
Absolute stereochemistry.

RN 497839-87-9 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-[(1R)-1-phenylethyl]-6-[3-(1-piperidinylmethyl)phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497839-88-0 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, 6-[3-(4-morpholinylmethyl)phenyl]-N-[(1R)-1-phenylethyl]- (9CI) (CA INDEX NAME)

RN 497839-89-1 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, 6-[3-[(3,5-dimethyl-1-piperazinyl)methyl]phenyl]-N-[(1R)-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497839-90-4 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-(3-chloro-4-fluorophenyl)-6-[3-[(dimethylamino)methyl]phenyl]- (9CI) (CA INDEX NAME)

RN 497839-91-5 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-(3-chloro-4-fluorophenyl)-6-[3-[(diethylamino)methyl]phenyl]- (9CI) (CA INDEX NAME)

RN 497839-92-6 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-(3-chloro-4-fluorophenyl)-6-[3-[(4-ethyl-1-piperazinyl)methyl]phenyl]- (9CI) (CA INDEX NAME)

$$C1$$
 F

Et

RN 497839-93-7 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-(3-chloro-4-fluorophenyl)-6-[3-(1-pyrrolidinylmethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 497839-94-8 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-(3-chloro-4-fluorophenyl)-6-[3-[(4-methyl-1-piperazinyl)methyl]phenyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ N & & & \\ N & & & \\ NH & & & \\ C1 & & & \\ & & & \\ \end{array}$$

- RN 497839-95-9 HCAPLUS
- CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-(3-chloro-4-fluorophenyl)-6-[3-(1-piperidinylmethyl)phenyl]- (9CI) (CA INDEX NAME)

- RN 497839-96-0 HCAPLUS
- CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-(3-chloro-4-fluorophenyl)-6-[3-(4-morpholinylmethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 497839-97-1 HCAPLUS

CN 1-Piperidineacetamide, N-[[4-[4-[[(1R)-1-phenylethyl]amino]-1H-pyrrolo[2,3-d]pyrimidin-6-yl]phenyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497839-98-2 HCAPLUS

CN 1-Pyrrolidineacetamide, N-[[4-[4-[(1R)-1-phenylethyl]amino]-1H-pyrrolo[2,3-d]pyrimidin-6-yl]phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 497839-99-3 HCAPLUS

CN 4-Morpholineacetamide, N-[[4-[4-[(1R)-1-phenylethyl]amino]-1H-pyrrolo[2,3-d]pyrimidin-6-yl]phenyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497840-00-3 HCAPLUS

CN 1-Piperazineacetamide, 4-methyl-N-[[4-[4-[(1R)-1-phenylethyl]amino]-1H-pyrrolo[2,3-d]pyrimidin-6-yl]phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 497840-01-4 HCAPLUS

CN Acetamide, 2-(dimethylamino)-N-[[4-[4-[(1R)-1-phenylethyl]amino]-1H-pyrrolo[2,3-d]pyrimidin-6-yl]phenyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497840-02-5 HCAPLUS

CN 1-Piperazineacetamide, 4-ethyl-N-[[4-[4-[[(1R)-1-phenylethyl]amino]-1H-pyrrolo[2,3-d]pyrimidin-6-yl]phenyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497840-04-7 HCAPLUS

CN Acetamide, N-[[4-[4-[(3-chloro-4-fluorophenyl)amino]-1H-pyrrolo[2,3-d]pyrimidin-6-yl]phenyl]methyl]-2-(dimethylamino)- (9CI) (CA INDEX NAME)

RN 497840-07-0 HCAPLUS

CN 1-Piperazineacetamide, N-[[4-[4-[(3-chloro-4-fluorophenyl)amino]-1H-pyrrolo[2,3-d]pyrimidin-6-yl]phenyl]methyl]-4-ethyl- (9CI) (CA INDEX NAME)

RN 497840-09-2 HCAPLUS

CN 4-Morpholineacetamide, N-[[4-[4-[(3-chloro-4-fluorophenyl)amino]-1H-pyrrolo[2,3-d]pyrimidin-6-yl]phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 497840-11-6 HCAPLUS

CN 1-Piperidineacetamide, N-[[4-[4-[(3-chloro-4-fluorophenyl)amino]-1H-pyrrolo[2,3-d]pyrimidin-6-yl]phenyl]methyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & \\ N & & \\ \end{array}$$

RN 497840-13-8 HCAPLUS

CN 1-Piperazineacetamide, N-[[4-[4-[(3-chloro-4-fluorophenyl)amino]-1H-pyrrolo[2,3-d]pyrimidin-6-yl]phenyl]methyl]-4-methyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & \\ & & & \\ & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\$$

RN 497840-15-0 HCAPLUS

CN Acetamide, 2-(dimethylamino)-N-[[4-[4-[4-[[4-(phenylmethoxy)phenyl]amino]-1H-pyrrolo[2,3-d]pyrimidin-6-yl]phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 497840-17-2 HCAPLUS

CN 1-Piperazineacetamide, 4-methyl-N-[[4-[4-[4-(phenylmethoxy)phenyl]amino]-1H-pyrrolo[2,3-d]pyrimidin-6-yl]phenyl]methyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{N} \\ \text{N} \\ \text{NH} \\ \text{Ph-} \text{CH}_2 - \text{O} \\ \end{array}$$

RN 497840-19-4 HCAPLUS

CN 1-Piperidineacetamide, N-[[4-[4-[[4-(phenylmethoxy)phenyl]amino]-1H-pyrrolo[2,3-d]pyrimidin-6-yl]phenyl]methyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} & & & \\ & &$$

RN 497840-20-7 HCAPLUS

CN 1-Piperidinepropanamide, N-[[4-[4-[(1R)-1-phenylethyl]amino]-1H-pyrrolo[2,3-d]pyrimidin-6-yl]phenyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497840-22-9 HCAPLUS

CN Propanamide, 3-(diethylamino)-N-[[4-[4-[(1R)-1-phenylethyl]amino]-1H-pyrrolo[2,3-d]pyrimidin-6-yl]phenyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497840-23-0 HCAPLUS

CN Butanamide, 4-(dimethylamino)-N-[[4-[4-[(1R)-1-phenylethyl]amino]-1H-pyrrolo[2,3-d]pyrimidin-6-yl]phenyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

$$\begin{array}{c} & & & \\ & &$$

RN 497840-24-1 HCAPLUS

CN 2-Pyridinecarboxamide, N-[[4-[4-[(1R)-1-phenylethyl]amino]-1H-pyrrolo[2,3-d]pyrimidin-6-yl]phenyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497840-25-2 HCAPLUS
CN Propanamide, N-[[4-[4-[(3-chloro-4-fluorophenyl)amino]-1H-pyrrolo[2,3-d]pyrimidin-6-yl]phenyl]methyl]-3-(diethylamino)- (9CI) (CA INDEX NAME)

RN 497840-26-3 HCAPLUS

CN 2-Pyridinecarboxamide, N-[[4-[4-[(3-chloro-4-fluorophenyl)amino]-1H-pyrrolo[2,3-d]pyrimidin-6-yl]phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 497840-27-4 HCAPLUS

CN Butanamide, N-[[4-[4-[(3-chloro-4-fluorophenyl)amino]-1H-pyrrolo[2,3-d]pyrimidin-6-yl]phenyl]methyl]-4-(dimethylamino)- (9CI) (CA INDEX NAME)

497840-28-5 HCAPLUS

RN

CN 1-Piperidinepropanamide, N-[[4-[4-[(3-chloro-4-fluorophenyl)amino]-1H-pyrrolo[2,3-d]pyrimidin-6-yl]phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 497840-29-6 HCAPLUS

CN Acetamide, 2-(dimethylamino)-N-[[3-[4-[[(1R)-1-phenylethyl]amino]-1H-pyrrolo[2,3-d]pyrimidin-6-yl]phenyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497840-30-9 HCAPLUS

CN 1-Piperazineacetamide, 4-methyl-N-[[3-[4-[[(1R)-1-phenylethyl]amino]-1H-pyrrolo[2,3-d]pyrimidin-6-yl]phenyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497840-31-0 HCAPLUS

CN Acetamide, N-[[3-[4-[(3-chloro-4-fluorophenyl)amino]-1H-pyrrolo[2,3-d]pyrimidin-6-yl]phenyl]methyl]-2-(dimethylamino)- (9CI) (CA INDEX NAME)

RN 497840-32-1 HCAPLUS

CN 1-Piperazineacetamide, N-[[3-[4-[(3-chloro-4-fluorophenyl)amino]-1H-pyrrolo[2,3-d]pyrimidin-6-yl]phenyl]methyl]-4-methyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ N & & & \\ N & & & \\ NH & & & \\ C1 & & & \\ & & & \\ \end{array}$$

RN 497840-33-2 HCAPLUS

CN

1-Piperidineacetamide, N-[[3-[4-[(3-chloro-4-fluorophenyl)amino]-1H-pyrrolo[2,3-d]pyrimidin-6-yl]phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 497840-34-3 HCAPLUS

CN Phenol, 2-methyl-5-[[6-[3-[(4-methyl-1-piperazinyl)methyl]phenyl]-1H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & \\ N & & \\ N & & \\ NH & & \\ Me & & \\ \end{array}$$

RN 497840-35-4 HCAPLUS

CN Phenol, 5-[[6-[3-[(dimethylamino)methyl]phenyl]-1H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]-2-methyl- (9CI) (CA INDEX NAME)

RN 497840-36-5 HCAPLUS

CN Phenol, 2-methoxy-5-[[6-[3-[(4-methyl-1-piperazinyl)methyl]phenyl]-1H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ N & & \\ NH & & \\ & & \\ NH & & \\ & & \\ & & \\ OMe & & \\ \end{array}$$

RN 497840-37-6 HCAPLUS

CN Phenol, 5-[[6-[3-[(dimethylamino)methyl]phenyl]-1H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]-2-methoxy- (9CI) (CA INDEX NAME)

RN 497840-38-7 HCAPLUS

CN Phenol, 5-[[6-[4-[(dimethylamino)methyl]phenyl]-1H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]-2-methyl- (9CI) (CA INDEX NAME)

RN 497840-39-8 HCAPLUS

CN Phenol, 2-methyl-5-[[6-[4-[(4-methyl-1-piperazinyl)methyl]phenyl]-1H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{N} \\ \text{N} \\ \text{NH} \\ \text{Me} \end{array}$$

RN 497840-40-1 HCAPLUS

CN Phenol, 5-[[6-[4-[(dimethylamino)methyl]phenyl]-1H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]-2-methoxy- (9CI) (CA INDEX NAME)

RN 497840-41-2 HCAPLUS

CN Phenol, 2-methoxy-5-[[6-[4-[(4-methyl-1-piperazinyl)methyl]phenyl]-1H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{N} \\ \text{N} \\ \text{NH} \\ \text{OMe} \end{array}$$

RN 497840-42-3 HCAPLUS

CN Phenol, 2-methoxy-5-[[6-[4-(4-morpholinylmethyl)phenyl]-1H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]- (9CI) (CA INDEX NAME)

RN 497840-43-4 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-[(1R)-1-(4-chlorophenyl)ethyl]-6-[4-[(dimethylamino)methyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497840-44-5 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-[(1R)-1-(4-chlorophenyl)ethyl]-6-[4-[(4-methyl-1-piperazinyl)methyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497840-45-6 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-[(1R)-1-(4-chlorophenyl)ethyl]-6-[4-(4-morpholinylmethyl)phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497840-46-7 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-(3-chlorophenyl)-6-[4-[(dimethylamino)methyl]phenyl]-, hydrochloride (9CI) (CA INDEX NAME)

•x HCl

RN 497840-47-8 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-(3-chlorophenyl)-6-[4-[(dimethylamino)methyl]phenyl]- (9CI) (CA INDEX NAME)

RN 497840-48-9 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-(3-chlorophenyl)-6-[4-[(4-methyl-1-piperazinyl)methyl]phenyl]-, dihydrochloride (9CI) (CA INDEX NAME)

●2 HCl

RN 497840-49-0 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-(3-chlorophenyl)-6-[4-(4-morpholinylmethyl)phenyl]-, hydrochloride (9CI) (CA INDEX NAME)

•x HCl

RN 497840-50-3 HCAPLUS

CN Ethanol, 2,2'-[[[4-[4-[[(1R)-1-phenylethyl]amino]-7H-pyrrolo[2,3-d]pyrimidin-6-yl]phenyl]methyl]imino]bis-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497840-51-4 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-[(3-chlorophenyl)methyl]-6-[4-[(4-ethyl-1-piperazinyl)methyl]phenyl]- (9CI) (CA INDEX NAME)

RN 497840-52-5 HCAPLUS

CN lH-Pyrrolo[2,3-d]pyrimidin-4-amine, N-[(3-chlorophenyl)methyl]-6-[4-[(dimethylamino)methyl]phenyl]- (9CI) (CA INDEX NAME)

RN 497840-53-6 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-[(3-chlorophenyl)methyl]-6-[4-(1-piperidinylmethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 497840-54-7 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-[(3-chlorophenyl)methyl]-6-[4-(4-morpholinylmethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 497840-55-8 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-[(3-chlorophenyl)methyl]-6-[4-[(diethylamino)methyl]phenyl]- (9CI) (CA INDEX NAME)

RN 497840-56-9 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-[(3-chlorophenyl)methyl]-6-[4-(1-pyrrolidinylmethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 497840-57-0 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-[(3-chlorophenyl)methyl]-6-[4-[(4-methyl-1-piperazinyl)methyl]phenyl]- (9CI) (CA INDEX NAME)

RN 497840-58-1 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-[(2-chlorophenyl)methyl]-6-[4-[(dimethylamino)methyl]phenyl]- (9CI) (CA INDEX NAME)

RN 497840-59-2 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-[(2-chlorophenyl)methyl]-6-[4-[(4-ethyl-1-piperazinyl)methyl]phenyl]- (9CI) (CA INDEX NAME)

RN 497840-60-5 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-[(2-chlorophenyl)methyl]-6-[4-(1-piperidinylmethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 497840-61-6 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-[(2-chlorophenyl)methyl]-6-[4-(4-morpholinylmethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 497840-62-7 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-[(2-chlorophenyl)methyl]-6-[4-[(4-methyl-1-piperazinyl)methyl]phenyl]- (9CI) (CA INDEX NAME)

RN 497840-63-8 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-[(2-chlorophenyl)methyl]-6-[4-(1-pyrrolidinylmethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 497840-64-9 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-[(2,5-dichlorophenyl)methyl]-6-[4-[(4-ethyl-1-piperazinyl)methyl]phenyl]- (9CI) (CA INDEX NAME)

RN 497840-65-0 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-[(2,5-dichlorophenyl)methyl]-6-[4-[(dimethylamino)methyl]phenyl]- (9CI) (CA INDEX NAME)

RN 497840-66-1 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-[(2,5-dichlorophenyl)methyl]-6-[4-(4-morpholinylmethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 497840-67-2 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-[(2,5-dichlorophenyl)methyl]-6-[4-(1-piperidinylmethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 497840-68-3 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-[(2,5-dichlorophenyl)methyl]-6-[4-[(diethylamino)methyl]phenyl]- (9CI) (CA INDEX NAME)

RN 497840-69-4 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-[(2,5-dichlorophenyl)methyl]-6-[4-[(4-methyl-1-piperazinyl)methyl]phenyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} & & & \\ & &$$

RN 497840-70-7 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-[(2,5-dichlorophenyl)methyl]-6-[4-(1-pyrrolidinylmethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 497840-71-8 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, 6-[4-[(dimethylamino)methyl]phenyl]-N[(3-methoxyphenyl)methyl]- (9CI) (CA INDEX NAME)

RN 497840-72-9 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, 6-[4-[(diethylamino)methyl]phenyl]-N-[(3-methoxyphenyl)methyl]- (9CI) (CA INDEX NAME)

497840-73-0 HCAPLUS

RN

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-[(3-methoxyphenyl)methyl]-6-[4-(1-pyrrolidinylmethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 497840-74-1 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-[(3-methoxyphenyl)methyl]-6-[4-(1-piperidinylmethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 497840-75-2 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-[(3-methoxyphenyl)methyl]-6-[4-(4-morpholinylmethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 497840-76-3 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-[(3-methoxyphenyl)methyl]-6-[4-[(4-methyl-1-piperazinyl)methyl]phenyl]- (9CI) (CA INDEX NAME)

RN 497840-77-4 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, 6-[4-[(4-ethyl-1-piperazinyl)methyl]-N-[(3-methoxyphenyl)methyl]- (9CI) (CA INDEX NAME)

RN 497840-78-5 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-[(3-methylphenyl)methyl]-6-[4-(1-piperidinylmethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 497840-79-6 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-[(3-methylphenyl)methyl]-6-[4-(4-morpholinylmethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 497840-80-9 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, 6-[4-[(dimethylamino)methyl]phenyl]-N-[(3-methylphenyl)methyl]- (9CI) (CA INDEX NAME)

RN 497840-81-0 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, 6-[4-[(diethylamino)methyl]phenyl]-N-[(3-methylphenyl)methyl]- (9CI) (CA INDEX NAME)

RN 497840-82-1 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-[(3-methylphenyl)methyl]-6-[4-(1-pyrrolidinylmethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 497840-83-2 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-[(3-methylphenyl)methyl]-6-[4-[(4-methyl-1-piperazinyl)methyl]phenyl]- (9CI) (CA INDEX NAME)

RN 497840-84-3 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, 6-[4-[(4-ethyl-1-piperazinyl)methyl]phenyl]-N-[(3-methylphenyl)methyl]- (9CI) (CA INDEX NAME)

RN 497840-85-4 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-1,3-benzodioxol-5-yl-6-[4-[(4-methyl-1-piperazinyl)methyl]phenyl]- (9CI) (CA INDEX NAME)

RN 497840-86-5 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-1,3-benzodioxol-5-yl-6-[4-[(4-ethyl-1-piperazinyl)methyl]phenyl]- (9CI) (CA INDEX NAME)

RN 497840-87-6 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-1,3-benzodioxol-5-yl-6-[4-[(dimethylamino)methyl]phenyl]- (9CI) (CA INDEX NAME)

RN 497840-88-7 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-1,3-benzodioxol-5-yl-6-[4-(4-morpholinylmethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 497840-90-1 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-(6-methoxy-3-pyridinyl)-6-[4-[(4-methyl-1-piperazinyl)methyl]phenyl]- (9CI) (CA INDEX NAME)

RN 497840-91-2 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, 6-[4-[(dimethylamino)methyl]phenyl]-N-(6-methoxy-3-pyridinyl)- (9CI) (CA INDEX NAME)

RN 497840-92-3 HCAPLUS
CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, 6-[4-[(4-ethyl-1-piperazinyl)methyl]phenyl]-N-(6-methoxy-3-pyridinyl)- (9CI) (CA INDEX NAME)

RN 497840-93-4 HCAPLUS
CN 2(1H)-Pyridinone, 5-[[6-[4-(4-morpholinylmethyl)phenyl]-1H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]- (9CI) (CA INDEX NAME)

RN 497840-94-5 HCAPLUS

CN 2(1H)-Pyridinone, 5-[[6-[4-[(dimethylamino)methyl]phenyl]-1H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]- (9CI) (CA INDEX NAME)

RN 497840-95-6 HCAPLUS

CN 2(1H)-Pyridinone, 5-[[6-[4-[(4-methyl-1-piperazinyl)methyl]phenyl]-1H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]- (9CI) (CA INDEX NAME)

RN 497840-96-7 HCAPLUS

CN 2(1H)-Pyridinone, 5-[[6-[4-[(4-ethyl-1-piperazinyl)methyl]phenyl]-1H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]- (9CI) (CA INDEX NAME)

RN 497840-97-8 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, 6-[4-[(4-ethyl-1-piperazinyl)methyl]phenyl]-N-[(6-methoxy-3-pyridinyl)methyl]- (9CI) (CA INDEX NAME)

RN 497840-98-9 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-[(6-methoxy-3-pyridinyl)methyl]-6-[4-(4-morpholinylmethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 497840-99-0 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, 6-[4-[(dimethylamino)methyl]phenyl]-N[(6-methoxy-3-pyridinyl)methyl]- (9CI) (CA INDEX NAME)

RN 497841-00-6 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, 6-[4-[(4-ethyl-1-piperazinyl)methyl]phenyl]-N-[(2-methoxy-4-pyridinyl)methyl]- (9CI) (CA INDEX NAME)

RN 497841-01-7 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-[(2-methoxy-4-pyridinyl)methyl]-6-[4-(4-morpholinylmethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 497841-02-8 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, 6-[4-[(dimethylamino)methyl]phenyl]-N-[(2-methoxy-4-pyridinyl)methyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{MeO} & \text{N} \\ \text{CH}_2 \\ \text{NH} \\ \text{N} \\ \text{N} \\ \text{N} \\ \text{N} \\ \end{array}$$

RN 497841-03-9 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-[(2-methoxy-4-pyridinyl)methyl]-6-[4-[(4-methyl-1-piperazinyl)methyl]phenyl]- (9CI) (CA INDEX NAME)

RN 497841-04-0 HCAPLUS

CN 2(1H)-Pyridinone, 5-[[[6-[4-[(4-ethyl-1-piperazinyl)methyl]phenyl]-1H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]methyl]- (9CI) (CA INDEX NAME)

RN 497841-05-1 HCAPLUS

CN 2(1H)-Pyridinone, 5-[[[6-[4-[(dimethylamino)methyl]phenyl]-1H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]methyl]- (9CI) (CA INDEX NAME)

RN 497841-06-2 HCAPLUS

CN 2(1H)-Pyridinone, 5-[[[6-[4-(4-morpholinylmethyl)phenyl]-1H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]methyl]- (9CI) (CA INDEX NAME)

RN 497841-07-3 HCAPLUS

CN 2(1H)-Pyridinone, 4-[[[6-[4-[(4-ethyl-1-piperazinyl)methyl]phenyl]-1H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]methyl]- (9CI) (CA INDEX NAME)

RN 497841-08-4 HCAPLUS

CN 2(1H)-Pyridinone, 4-[[[6-[4-(4-morpholinylmethyl)phenyl]-1H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]methyl]- (9CI) (CA INDEX NAME)

RN 497841-09-5 HCAPLUS

CN 2(1H)-Pyridinone, 4-[[[6-[4-[(dimethylamino)methyl]phenyl]-1H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]methyl]- (9CI) (CA INDEX NAME)

RN 497841-10-8 HCAPLUS

CN 2(1H)-Pyridinone, 4-[[[6-[4-[(4-methyl-1-piperazinyl)methyl]phenyl]-1H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]methyl]- (9CI) (CA INDEX NAME)

RN 497841-11-9 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-(2-methoxy-4-pyridinyl)-6-[4-(4-morpholinylmethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 497841-12-0 HCAPLUS

CN 2(1H)-Pyridinone, 4-[[6-[4-(4-morpholinylmethyl)phenyl]-1H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]- (9CI) (CA INDEX NAME)

RN 497841-13-1 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-(2-methoxy-4-pyridinyl)-6-[4-[(4-methyl-1-piperazinyl)methyl]phenyl]- (9CI) (CA INDEX NAME)

RN 497841-14-2 HCAPLUS

CN 2(1H)-Pyridinone, 4-[[6-[4-[(4-methyl-1-piperazinyl)methyl]phenyl]-1H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]- (9CI) (CA INDEX NAME)

RN 497841-15-3 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, 6-[4-[(4-ethyl-1-piperazinyl)methyl]phenyl]-N-(2-methoxy-4-pyridinyl)- (9CI) (CA INDEX NAME)

RN 497841-16-4 HCAPLUS

CN 2(1H)-Pyridinone, 4-[[6-[4-[(4-ethyl-1-piperazinyl)methyl]phenyl]-1H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]- (9CI) (CA INDEX NAME)

RN 497841-17-5 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, 6-[4-[(dimethylamino)methyl]phenyl]-N-(2-methoxy-4-pyridinyl)- (9CI) (CA INDEX NAME)

RN 497841-18-6 HCAPLUS

CN 2(1H)-Pyridinone, 4-[[6-[4-[(dimethylamino)methyl]phenyl]-1H-pyrrolo[2,3-

d]pyrimidin-4-yl]amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{N} \\ \text{N} \\ \text{N} \\ \text{N} \\ \text{N} \\ \text{N} \\ \end{array}$$

RN 497841-19-7 HCAPLUS

CN lH-Pyrrolo[2,3-d]pyrimidine, 6-[4-[(4-ethyl-1-piperazinyl)methyl]phenyl]-4-[(4-fluoro-2-methyl-1H-indol-5-yl)oxy]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & H & Me \\ \hline & N & Me \\ \hline & & CH_2 & N \\ \hline & & NH & Et \\ \end{array}$$

RN 497841-20-0 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidine, 4-[(4-fluoro-2-methyl-1H-indol-5-yl)oxy]-6-[4-[(4-methyl-1-piperazinyl)methyl]phenyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} H \\ N \\ \end{array} \begin{array}{c} Me \\ CH_2 \\ \end{array} \begin{array}{c} N \\ Me \\ \end{array}$$

RN 497841-21-1 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidine, 4-[(4-fluoro-2-methyl-1H-indol-5-yl)oxy]-6-[4-(4-morpholinylmethyl)phenyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c}
H \\
N \\
N \\
N
\end{array}$$
Me
$$CH_2 - N \\
O$$

RN 497841-22-2 HCAPLUS

CN Benzenemethanamine, 4-[4-[(4-fluoro-2-methyl-1H-indol-5-yl)oxy]-1H-pyrrolo[2,3-d]pyrimidin-6-yl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{H} \\ \text{N} \\ \text{$$

RN 497841-61-9 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, 6-[4-[[(1-methylethyl)amino]methyl]phenyl]-N-[(1R)-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497841-62-0 HCAPLUS

CN Piperazine, 1-[4-[4-[(4-fluoro-2-methyl-1H-indol-5-yl)oxy]-1H-pyrrolo[2,3-d]pyrimidin-6-yl]benzoyl]-4-methyl- (9CI) (CA INDEX NAME)

RN 497841-63-1 HCAPLUS

CN Morpholine, 4-[4-[4-[(4-fluoro-2-methyl-1H-indol-5-yl)oxy]-1H-pyrrolo[2,3-d]pyrimidin-6-yl]benzoyl]- (9CI) (CA INDEX NAME)

RN 497841-64-2 HCAPLUS

CN Benzamide, 4-[4-[(4-fluoro-2-methyl-1H-indol-5-yl)oxy]-1H-pyrrolo[2,3-d]pyrimidin-6-yl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

RN 497848-06-3 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-[(2-chlorophenyl)methyl]-6-[4-[(diethylamino)methyl]phenyl]- (9CI) (CA INDEX NAME)

IT 187724-58-9

RL: RCT (Reactant); RACT (Reactant or reagent)
 (preparation of 4-amino-6-phenyl-pyrrolo[2,3-d]pyrimidines as protein
 tyrosine kinase inhibitors)

RN 187724-58-9 HCAPLUS

CN Benzamide, 4-[4-[(3-chlorophenyl)amino]-1H-pyrrolo[2,3-d]pyrimidin-6-yl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

RN 497841-37-9 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, 6-[4-(aminomethyl)phenyl]-N-[(1R)-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497841-38-0 HCAPLUS

CN Acetamide, 2-chloro-N-[[4-[4-[[(1R)-1-phenylethyl]amino]-1H-pyrrolo[2,3-d]pyrimidin-6-yl]phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 497841-39-1 HCAPLUS

CN Acetamide, 2-chloro-N-[[4-[4-[(3-chloro-4-fluorophenyl)amino]-1H-pyrrolo[2,3-d]pyrimidin-6-yl]phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 497841-40-4 HCAPLUS

CN Acetamide, 2-chloro-N-[[4-[4-[4-(phenylmethoxy)phenyl]amino]-1H-pyrrolo[2,3-d]pyrimidin-6-yl]phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 497841-42-6 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, 6-[4-(aminomethyl)phenyl]-N-(3-chloro-4-fluorophenyl)- (9CI) (CA INDEX NAME)

RN 497841-43-7 HCAPLUS

CN Acetamide, 2-chloro-N-[[3-[4-[[(1R)-1-phenylethyl]amino]-1H-pyrrolo[2,3-d]pyrimidin-6-yl]phenyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 497841-44-8 HCAPLUS

CN Acetamide, 2-chloro-N-[[3-[4-[(3-chloro-4-fluorophenyl)amino]-1H-pyrrolo[2,3-d]pyrimidin-6-yl]phenyl]methyl]- (9CI) (CA INDEX NAME)

IT 497841-41-5P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of 4-amino-6-phenyl-pyrrolo[2,3-d]pyrimidines as protein
 tyrosine kinase inhibitors)

RN 497841-41-5 HCAPLUS

CN 2-Propenamide, N-[[4-[4-[(1R)-1-phenylethyl]amino]-1H-pyrrolo[2,3-d]pyrimidin-6-yl]phenyl]methyl]- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 22 OF 27 HCAPLUS COPYRIGHT 2006 ACS on STN

3

ACCESSION NUMBER:

1998:564221 HCAPLUS

DOCUMENT NUMBER:

129:175920

TITLE:

Preparation of nucleosides water soluble adenosine

kinase inhibitors

INVENTOR(S):

Ugarkar, Bheemarao G.; Erion, Mark D.; Gomez, Galeno

Jorge E.

PATENT ASSIGNEE(S):

Metabasis Therapeutics, Inc., USA

SOURCE:

U.S., 35 pp., Cont.-in-part of U.S. Ser. No. 473,492.

CODEN: USXXAM

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 14

PATENT INFORMATION:

PATENT NO.		DATE	APPLICATION NO.	DATE
US 5795977	Α	19980818	US 1996-660532	19960607
WO 9212718	A1	19920806	WO 1992-US515	19920121
W: AU, CA, FI,	NO			
AU 665184	B2	19951221	AU 1992-13599	19920121
AU 9213599	A1	19920827		
NO 9302628	Α	19930923	NO 1993-2628	19930721
NO 180418	В	19970106		
NO 180418	C	19970416		
US 5646128	Α	19970708	US 1994-349125	19941201
US 5658889	A	19970819	US 1994-355836	19941214
US 5726302	Α	19980310	US 1995-473492	19950607
PRIORITY APPLN. INFO.:			US 1989-408707 B2	2 19890918
			US 1990-466979 B2	2 19900118
			US 1991-647117 B2	2 19910123
_			US 1991-812916 B:	2 19911223
			US 1995-473492 A	2 19950607
				2 19890124
				2 19890124
				2 19890915
			WO 1992-US515 W	
				2 19930203
				l 19940203
			OD 1994 192049 D.	1 17740203

US 1994-230421 B1 19940419

OTHER SOURCE(S): GI

MARPAT 129:175920

NH (CH₂)_DX OA2 A10

This invention relates to adenosine kinase inhibitors and to nucleoside AB analogs I (A1, A2 = independently H, acyl; A1A2 = cyclic carbonate; B = alkenyl, alkyl, alkoxy, aminoalkyl, azidoalkyl, hydroxyalkyl, haloalkyl; D = alkyl, alkenyl; X = carbocyclic or heterocyclic ring, alkyl, alkenyl; Y = C, N; E = nothing or H, halogen; G = H, halogen; p = 0-3), specifically to water soluble, aryl substituted 4-amino-pyrrolo[2,3-d]pyrimidine and pyrazolo[3,4-d]pyrimidine nucleoside analogs having activity as adenosine kinase inhibitors The invention also relates to the preparation and use of these adenosine kinase inhibitors in the treatment of cardiovascular, and cerebrovascular diseases, inflammation and other diseases which can be regulated by increasing the local concentration of adenosine. 4-N-(4-carboxymethylphenyl) amino-5-phenyl-7-(5-deoxy-1- β -Dribofuranosyl)pyrrolo[2,3-d]pyrimidine was prepared and tested as adenosine kinase inhibitor (EC50 = 80 nmol.).

IT 186301-14-4P 186301-15-5P 186301-16-6P 186301-17-7P 211447-03-9P

Ι

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of nucleosides water soluble adenosine kinase inhibitors)

RN 186301-14-4 HCAPLUS

CN 7H-Pyrrolo[2,3-d] pyrimidin-4-amine, $7-(5-deoxy-\beta-D-ribofuranosyl)-N-$ (4-fluorophenyl)-5-[4-(1-piperazinylmethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 186301-15-5 HCAPLUS

CN 7H-Pyrrolo[2,3-d]pyrimidin-4-amine, 7-(5-deoxy-β-D-ribofuranosyl)-5[4-[(dimethylamino)methyl]phenyl]-N-(4-fluorophenyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186301-16-6 HCAPLUS

CN Piperazine, 1-[4-[7-(5-deoxy-β-D-ribofuranosyl)-4-[(4-fluorophenyl)amino]-7H-pyrrolo[2,3-d]pyrimidin-5-yl]benzoyl]-,
monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 186301-17-7 HCAPLUS

CN Benzamide, $4-[7-(5-deoxy-\beta-D-ribofuranosyl)-4-[(4-fluorophenyl)amino]-$ 7H-pyrrolo[2,3-d]pyrimidin-5-yl]-N-[2-(diethylamino)ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN

211447-03-9 HCAPLUS
Piperazine, 1-[4-[7-(5-deoxy-β-D-ribofuranosyl)-4-[(4-CNfluorophenyl)amino]-7H-pyrrolo[2,3-d]pyrimidin-5-yl]benzoyl]- (9CI) INDEX NAME)

IT 211447-04-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of nucleosides water soluble adenosine kinase inhibitors)

RN 211447-04-0 HCAPLUS

CN 1-Piperazinecarboxylic acid, 4-[4-[7-[5-deoxy-2,3-0-(1-methylethylidene)-β-D-ribofuranosyl]-4-[(4-fluorophenyl)amino]-7H-pyrrolo[2,3-

d]pyrimidin-5-yl]benzoyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

PAGE 2-A

REFERENCE COUNT:

THERE ARE 47 CITED REFERENCES AVAILABLE FOR THIS 47 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4ANSWER 23 OF 27 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1998:405444 HCAPLUS

DOCUMENT NUMBER:

129:67984

TITLE:

Preparation of orally active nucleoside adenosine

kinase inhibitors

INVENTOR(S):

Ugarkar, Bheemarao G.; Erion, Mark D.; Gomez, Galeno Jorge E.; Castellino, Angelo J.; Browne, Clinton E.

PATENT ASSIGNEE(S):

Metabasis Therapeutics, Inc., USA

SOURCE:

U.S., 22 pp., Cont.-in-part of U.S. Ser. No. 473,491.

CODEN: USXXAM

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 14

PATENT INFORMATION:

PATENT NO.		DATE	APPLICATION NO.	DATE
US 5763597		19980609	US 1996-660506	19960607
WO 9212718		19920806		19920121
W: AU, CA, FI,			1332 00313	19920121
AU 665184	B2	19951221	AU 1992-13599	19920121
AU 9213599	A1	19920827		
NO 9302628	Α	19930923	NO 1993-2628	19930721
NO 180418	В	19970106		
NO 180418		19970416		
US 5646128	Α	19970708	US 1994-349125	19941201
US 5658889	Α		US 1994-355836	
US 5721356	Α	19980224	US 1995-473491	
PRIORITY APPLN. INFO.:			US 1989-408707	
				32 19900118
				32 19910123
				32 19911223
				12 19950607
				19890124
				12 19890124
			US 1989-408107	32 19890915
			WO 1992-US515	N 19920121
				32 19930203
			US 1994-192645	31 19940203
			US 1994-230421	31 19940419
OTHER SOURCE(S): GI	MARPAT	129:67984		

Ι

- AB Orally active nucleoside adenosine kinase inhibitors I (R = alkenyl, alkyl, alkoxyalkyl, aminoalkyl, azidoalkyl, haloalkyl; R1, R2 = independently H, acyl, together as cyclic carbonate; D = halo, alkyl, alkenyl, aryl, aralkyl, alkynyl, haloalkyl, cyano, carboxamido; Y = C, N; G = H, halo; n = 0-3) were prepared as adenosine kinase inhibitors. The invention also relates to the preparation and use of these and other adenosine kinase inhibitors in the treatment of cardiovascular and cerebrovascular diseases, inflammation and other diseases which can be regulated by increasing the local concentration of adenosine.
- 4-N-(4-ethoxymethylphenyl)amino-5-phenyl-7-(5-deoxy- β -D-ribofuranosyl)-pyrrolo[2,3-d]pyrimidine was prepared and tested as adenosine kinase inhibitor (IC50 = 6 nM) and as anticonvulsant agent (ED50 > 0.5 mg/kg).
- IT 186393-57-7P 186393-95-3P

 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

 (preparation of orally active nucleoside adenosine kinase inhibitors)
- RN 186393-57-7 HCAPLUS
 CN Urea, [[4-[7-(5-deoxy-β-D-ribofuranosyl)-4-[(4-fluorophenyl)amino]-7Hpyrrolo[2,3-d]pyrimidin-5-yl]phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 186393-95-3 HCAPLUS

CN Benzonitrile, 4-[7-(5-deoxy-β-D-ribofuranosyl)-4-[(4fluorophenyl)amino]-7H-pyrrolo[2,3-d]pyrimidin-5-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT:

THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 24 OF 27 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

1998:147332 HCAPLUS

DOCUMENT NUMBER:

128:192664

TITLE:

Preparation of substituted pyrrolopyrimidines as

antitumor agents

INVENTOR(S):
PATENT ASSIGNEE(S):

Traxler, Peter; Bold, Guido; Lang, Marc; Frei, Jorg Novartis A.-G., Switz.; Traxler, Peter; Bold, Guido;

Lang, Marc; Frei, Jorg

SOURCE:

PCT Int. Appl., 86 pp.

CODEN: PIXXD2

DOCUMENT TYPE: LANGUAGE: Patent English

2

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT	NO.		KIN	D	DATE			APF	PLICAT	'ION	NO.		D.	ATE	
	226		A1	-	1998	0226	4						- 1	- 997 0 :	821
W:	AL, A	TA , N	AU,	AΖ	BA,	BB,	BG,	BF	R, BY,	CA,	CH,	CN,			
		E, ES,													-
	LC, L	-				-	•		•		-			•	•
	PT, R													-	-
	UZ, VI					-	-		-		-	-	•	•	•
RW:	GH, K	E, LS,	MW,	SD	, SZ,	UG,	ZW,	ΓA	r, BE,	CH,	DE,	DK,	ES,	FI,	FR,
	GB, G														
	GN, M	L, MR,	NE,	SN	TD,	TG								·	•
CN 1194	647		Α		1998	0930		CN	1996-	1966	40		1	9960	624
CN 1100					2003	0205									
CA 2262	421		AA		1998	0226		CA	1997-	2262	421		1	9970	821
AU 9742	064		A1		1998	0306		ΑU	1997-	4206	4		1	9970	821
AU 7204	29		B2		2000	0601									
EP 9384	86		A1		1999	0901		ΕP	1997-	9401	80		1	9970	821
R:	AT, B	E, CH,	DΕ,	DK	, ES,	FR,	GB,	GF	R, IT,	LI,	LU,	NL,	SE,	MC,	PΤ,
	IE, F														
≯JP 2000 ⊁US 6180	516626		Т2		2000	1212		JP	1998-	5104	25		1	9970	821
^R US 6180	636		B1		2001	0130		US	1999-	2425	92		1	9990	219
PRIORITY APP	LN. IN	FO.:						CH	1996-	2071			A 1	9960	823
								CH	1995-	1976			A 1	9950	706
								WO	1997-	EP45	64		A 1	9970	821
OTHER SOURCE	(S):		MAR	PAT	128:	1926	64								

GI

The title compds. [I; n=0-3; q=0-1; R=halo, lower alkyl, HOCH2, etc.; one of the radicals R1 and R2 = H, lower alkyl, and the other of the radicals R1 and R2 = (un)substituted Ph, amino-lower alkyl, piperidine-1-carbonyl, etc.], inhibitors of the tyrosine kinase activity of the receptor for the epidermal growth factor (EGF) and c-erbB2kinase and therefore useful as antitumor agents, were prepared and formulated. Thus, hydrogenation of 4-(3-chloroanilino)-6-formyl-7H-pyrrolo[2,3-d]pyrimidine (preparation described) with N-methylpiperazine in the presence of Raney Ni in DMPU, AcOH and MeOH afforded I [R=3-Cl; R1=H; R2=4-methylpiperazin-1-ylmethyl; q=0]. Compds. I inhibit EGF-R-PTK activity by 50% (IC50), for example in a concentration of 0.0005-1 μ M, especially

from $0.001-1 \mu M$. Compds. I are effective at 0.5-2 g/day when

administered to a patient of a body weight of about 70 kg. IT 203724-12-3P 203724-13-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of substituted pyrrolopyrimidines as antitumor agents)

RN 203724-12-3 HCAPLUS

CN Morpholine, 4-[4-[4-[(3-chlorophenyl)amino]-1H-pyrrolo[2,3-d]pyrimidin-6-yl]benzoyl]- (9CI) (CA INDEX NAME)

RN 203724-13-4 HCAPLUS

CN Piperazine, 1-[4-[4-[(3-chlorophenyl)amino]-1H-pyrrolo[2,3-d]pyrimidin-6-yl]benzoyl]-4-methyl- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 25 OF 27 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

1997:204107 HCAPLUS

DOCUMENT NUMBER:

126:199578

TITLE:

Preparation of 7H-pyrrolo[2,3-d]pyrimidines as

tyrosine protein kinase inhibitors

INVENTOR(S):

Traxler, Peter; Bold, Guido; Brill, Wolfgang

Karl-Diether; Frei, Joerg

PATENT ASSIGNEE(S): Ciba-Geigy A.-G., Switz.; Traxler, Peter; Bold, Guido;

Brill, Wolfgang, Karl-Diether; Frei, Joerg PCT Int. Appl., 107 pp.
CODEN: PIXXD2

SOURCE:

Patent DOCUMENT TYPE: English LANGUAGE:

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

	TENT 1																	
	97022	266			A1		1997	0123	V	NO.	199	6-I	SP272	28		1	9960	624
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								MN,									SK,	TR,
		TT,	UA,	US,	UZ,	VN,	AM,	ΑZ,	BY,	KG	, K	Œ,	MD,	RU,	TJ,	TM		
	RW:	KE,	LS,	MW,	SD,	SZ,	UG,	ΑT,	BE,	CH	I, D	ΡĒ,	DK,	ES,	FI,	FR,	GB,	GR,
		ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	BF,	ВJ	r, C	CF,	CG,	CI,	CM,	GΑ,	GN,	ML,
		MR,			TD,													
	2224				AA		1997	0123	(CA	199	96-2	2224	435		1	9960	624
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AU	7076	26			B2		1999	0715										
EP	83660	05			A1		1998	0422	F	ΞP	199	96-9	92389	93		1	9960	624
EP	83660																	
	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR	2, I	ΙT,	LI,	LU,	NL,	SE,	MC,	PT,
		ΙE,																
BR	9609	517			Α		1999	0525	E	3R	199	96-9	9617			1	9960	624
JP	1150	B570			T2		1999	0727	ن	JΡ	199	97-5	50476	63		1	9960	624
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	8366				T		2002	0731						93			9960	624
ES	2172	570					2002	1001	F	ΞS	199	96-9	9238	93		1	9960	624
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PL	1889	59			B1			0531	I	PΓ	199	96-3	3242	85		1	9960	624
ZA	9605	723			Α		1997	0106	2				5723				.9960	705
TW	4720	57			В		2002	0111	7	ΓW	199	96-8	8510	8440		1	9960	712
ИО	9705	956			A B1 A		1998	0210	1	VO.	199	97-5	5956			1	.9971	218
	3103	59			B1		2001	0625										
% US	6140	332			Α		2000	1031	Ţ	JS	199	98-9	9818	77 98		1	.9980	126
HK	10083	222			A1		2002	1018	I	ΗK	199	98-3	1092	98		1	.9980	
PRIORITY	APP	LN.	INFO	. :					(CH	199	95 - 3	1976			A 1	.9950	706
									(CH	199	95-2	2498			A 1	.9950	901
									(CH	199	95 - 3	3198			A 1	9951	110
																	9960	
									(CH	199	96-3	1224			A 1	9960	513
										OW	199	96-1	EP27	28		W 1	9960	624
OTHER SO	OURCE	(S):			MARI	PAT	126:	1995	78									

$$\begin{bmatrix} R \end{bmatrix}_{n} \\ N \\ N \\ R^{2} \\ R^{1}$$

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- The title compds. [I; R = halo, lower alkyl, OH, etc.; R1, R2 = H, (un)substituted Ph, pyridyl, etc.; R1R2 = (un)substituted C4-10 1,4-alkadienylene; R6 = H, lower alkyl, lower alkoxycarbonyl, etc.; q = 0-1; n = 1-3 when q = 0; n = 0-3 when q = 1], which inhibit tyrosine protein kinase and can be used in the treatment of hyperproliferative diseases, for example tumor diseases, were prepared and formulated. Thus, reaction of 4-chloro-6-(pyrid-2-yl)-7H-pyrrolo[2,3-d]pyrimidine with 3-chloroaniline in the presence of DMPU in n-BuOH afforded I [R = 3-Cl; R1 = H; R2 = 2-pyridyl; q = 0]. Compds. I are effective at 0.5-2 g/day in the treatment of an individual having a body weight of about 70 kg.

 IT 187724-58-9P 187724-59-0P 187724-60-3P
- T 187724-58-9P 187724-59-0P 187724-60-3P

 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 7H-pyrrolo[2,3-d]pyrimidines as tyrosine protein kinase inhibitors)

- RN 187724-58-9 HCAPLUS
- CN Benzamide, 4-[4-[(3-chlorophenyl)amino]-1H-pyrrolo[2,3-d]pyrimidin-6-yl]-N,N-dimethyl-(9CI) (CA INDEX NAME)

- RN 187724-59-0 HCAPLUS
- CN Benzamide, 4-[4-[(3-chlorophenyl)amino]-1H-pyrrolo[2,3-d]pyrimidin-6-yl]-N,N-diethyl- (9CI) (CA INDEX NAME)

- RN 187724-60-3 HCAPLUS
- CN Benzamide, 3-[4-[(3-chlorophenyl)amino]-1H-pyrrolo[2,3-d]pyrimidin-6-yl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

L4 ANSWER 26 OF 27 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1997:121409 HCAPLUS

DOCUMENT NUMBER: 126:131750

TITLE: Preparation of nucleoside analogs as orally active

adenosine kinase inhibitors

INVENTOR(S): Ugarkar, Gheemarao G.; Erion, Mark D.; Galeno, Jorge

E. Gomez; Castellino, Angelo J.; Browne, Clinton E.

PATENT ASSIGNEE(S): Gensia Inc., USA

SOURCE: PCT Int. Appl., 67 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 14

PATENT INFORMATION:

PA	TENT	NO.			KIN	D	DATE		i	APPL	ICAT	ION I	NO.		J	DATE	
WO	9640	706			A1	-	1996	1219	1	WO 1	996-	us10	 919		:	19960	607
	W:	ΑL,	ΑM,	ΑT,	ΑU,	AZ,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CZ,	DE	DK,	EE,
		ES,	FI,	GB,	GE,	HU,	IL,	IS,	JP,	KE,	KG,	ΚP,	KR,	KZ,	LK	LR,	LS,
		LT,	LU,	LV,	MD,	MG,	MK,	MN,	MW,	MX,	NO,	NZ,	PL,	PT,	RO	RU,	SD,
		SE,	SG														
	RW:	KE,	LS,	MW,	SD,	SZ,	UG,	ΑT,	BE,	CH,	DE,	DK,	ES,	FI,	FR	GB,	GR,
		ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA	, GN	
US	5721	356			Α		1998	0224	1	US 1	995-	4734	91			19950	607
AU	9663	958			A1		1996	1230	AU 1996-63958					19960607			607
EP	8320	92			A1		1998	0401	EP 1996-923451				51	19960607			
EP	8320	92			В1		2004	1117									
	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE	MC,	PT,
		ΙE,															
	1150				Т2		1999	0629		JP 1	996-	5023	18		:	L9960	607
	9608						1999	1207			996-					19960	607
AT	2826	28			\mathbf{E}		2004	1215	i	AT 1	996-	9234	51			19960	607
PRIORIT	Y APP	LN.	INFO	.:					1	US 1	995-	4734	91		A :	19950	607
									1	US 1	989-	4087	07		B2 :	19890	915
									1	US 1	990-	4669	79		B2 :	19900	118
	+]	US 1	991-	6471	17		B2 :	19910	123
									1	US 1	991-	8129	16		B2 :	19911	.223
									1	WO 1	996-	US10	919	1	W :	19960	607

OTHER SOURCE(S): MARPAT 126:131750

GI

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AB Title nucleosides I (A1, A2 = H, acyl, cyclic carbonate; B = alkenyl, alkyl, aminoalkyl, azidoalkyl, haloalkyl; D = halogen, alkyl, alkenyl, aryl, aralkyl, alkynyl, CN, carboxamido; Y = C, N; E = H, halogen, alkyl; G = H, halogen; p = 0-3) were prepared as adenosine kinase inhibitors. The invention also relates to the preparation and use of these and other adenosine kinase inhibitors in the treatment of cardiovascular and cerebrovascular diseases, inflammation, and other diseases which can be regulated by increasing the local concentration of adenosine. Thus, 4-N-(4-methoxyphenyl)amino-3-phenyl-1-(5-azido-5-deoxy- β -D-ribofuranosyl)pyrazolo[3,4-d]pyrimidine was prepared and showed adenosine kinase inhibition (IC50 = 8 nM) and anticonvulsant activity (i.p. >> 3.4 mg/Kg).

IT 186393-57-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (preparation of nucleoside analogs as orally active adenosine kinase inhibitors)

RN 186393-57-7 HCAPLUS

CN Urea, [[4-[7-(5-deoxy-β-D-ribofuranosyl)-4-[(4-fluorophenyl)amino]-7Hpyrrolo[2,3-d]pyrimidin-5-yl]phenyl]methyl]- (9CI) (CA INDEX NAME)

IT 186393-94-2P 186393-95-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); IMF (Industrial manufacture); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of nucleoside analogs as orally active adenosine kinase inhibitors)

RN 186393-94-2 HCAPLUS

CN Benzonitrile, 3-[7-(5-deoxy-β-D-ribofuranosyl)-4-[(4fluorophenyl)amino]-7H-pyrrolo[2,3-d]pyrimidin-5-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186393-95-3 HCAPLUS

CN Benzonitrile, 4-[7-(5-deoxy-β-D-ribofuranosyl)-4-[(4fluorophenyl)amino]-7H-pyrrolo[2,3-d]pyrimidin-5-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 27 OF 27 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

1997:119216 HCAPLUS

DOCUMENT NUMBER:

TITLE:

126:131749

Preparation of water-soluble nucleoside analogs as

adenosine kinase inhibitors

INVENTOR (S):

Ugarkar, Bheemarao G.; Erion, Mark D.; Galeno, Jorge

E. Gomez

PATENT ASSIGNEE(S):

SOURCE:

Gensia Inc., USA

PCT Int. Appl., 106 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

14

PATENT INFORMATION:

PATENT	NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9640	707	 A1	19961219	WO 1996-US10956	10060607
W:	AL, AM, A ES, FI, G	T, AU, A2 B, GE, HU	Z, BB, BG, J, IL, IS,	BR, BY, CA, CH, CN, JP, KE, KG, KP, KR, MW, MX, NO, NZ, PL,	CZ, DE, DK, EE, KZ, LK, LR, LS.
RW:	KE, LS, M	W, SD, SZ U, MC, NI	, UG, AT,	BE, CH, DE, DK, ES, BF, BJ, CF, CG, CI,	FI, FR, GB, GR,
EP 8366	302 790 13	A A1 A1	19980310 19961230 19980422	US 1995-473492 AU 1996-64790 EP 1996-924302	19950607 19960607
	13 AT, BE, C IE, FI			GB, GR, IT, LI, LU,	NL, SE, MC, PT,
BR 9609	9181 011 09 LN. INFO.:	A E	19991214	JP 1996-502319 BR 1996-9011 AT 1996-924302 US 1995-473492	19960607 19960607
				US 1989-408707 US 1990-466979 US 1991-647117 US 1991-812916	B2 19890918 B2 19900118 B2 19910123 B2 19911223
OTHER SOURCE	(S):	MARPAT	126:1317	WO 1996-US10956 19	W 19960607

AB This invention relates to adenosine kinase inhibitors and to nucleoside analogs specifically to orally active, substituted 5-aryl pyrrolo[2,3-d] pyrimidine and 3-aryl pyrazolo[3,4-d] pyrimidine nucleoside analogs having

activity as adenosine kinase inhibitors. The invention also relates to the preparation and use of these and other adenosine kinase inhibitors in the treatment of cardiovascular and cerebrovascular disease, inflammation and other diseases which can be regulated by increasing the local concentration of adenosine. Water-soluble nucleoside analogs I [R = (un)substituted aryl; R1,R2 = H, acyl, cyclic carbonate; B = alkenyl, alkyl, ether, aminoalkyl, azidoalkyl; D = halo, alkyl, alkenyl, cyano, carboxamido; E, G = H, halogen] were prepared as adenosine kinase inhibitors. Thus, $4\text{-N-}(4\text{-guanidinophenyl})\,\text{amino-5-phenyl-7-}(5\text{-deoxy-1-}\beta\text{-D-ribofuranosyl})\,\text{pyrrolo}[2,3\text{-d}]\,\text{pyrimidine}$ was prepared as adenosine kinase inhibitor (IC50= 6 nmol) and anticonvulsant (ED50 = 5.0 mg/kg).

IT 186301-14-4P 186301-15-5P 186301-16-6P 186301-17-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); IMF (Industrial manufacture); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of water-soluble nucleoside analogs as adenosine kinase inhibitors)

RN 186301-14-4 HCAPLUS

CN 7H-Pyrrolo[2,3-d]pyrimidin-4-amine, 7-(5-deoxy-β-D-ribofuranosyl)-N(4-fluorophenyl)-5-[4-(1-piperazinylmethyl)phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186301-15-5 HCAPLUS

CN 7H-Pyrrolo[2,3-d]pyrimidin-4-amine, 7-(5-deoxy-β-D-ribofuranosyl)-5[4-[(dimethylamino)methyl]phenyl]-N-(4-fluorophenyl)- (9CI) (CA INDEX NAME)

RN 186301-16-6 HCAPLUS

CN Piperazine, 1-[4-[7-(5-deoxy-β-D-ribofuranosyl)-4-[(4-fluorophenyl)amino]-7H-pyrrolo[2,3-d]pyrimidin-5-yl]benzoyl]-,
monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

● HCl

RN 186301-17-7 HCAPLUS

CN Benzamide, 4-[7-(5-deoxy-β-D-ribofuranosyl)-4-[(4-fluorophenyl)amino]7H-pyrrolo[2,3-d]pyrimidin-5-yl]-N-[2-(diethylamino)ethyl]-,
monohydrochloride (9CI) (CA INDEX NAME)

Searched by Paul Schulwitz 571-272-2527